

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN

RN 68-26-8 REGISTRY

CN Retinol (9CI) (CA INDEX NAME)

OTHER NAMES:

CN (all-E)-3,7-Dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraen-1-ol

CN .beta.-Retinol

CN 2,4,6,8-Nonatetraen-1-ol, 3,7-dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-, (all-E)-

CN A-Mulsal

CN A-Sol

CN A-Vi-Pel

CN A-Vitan

CN Acon

CN Afaxin

CN Agiolan

CN Agoncal

CN Alcovit A

CN all-trans-Retinol

CN all-trans-Retinyol alcohol

CN all-trans-Vitamin A

CN all-trans-Vitamin A alcohol

CN all-trans-Vitamin A1

CN Alphalin

CN Alphasterol

CN Anatola

CN Anatola A

CN Anti-Infective vitamin

CN Antixerophthalmic vitamin

CN Aoral

CN Apexol

CN Apostavit

CN Aquasol A Parenteral

CN Aquasynth

CN Atav

CN Avibon

CN Avita

CN Avitol

CN Axerol

CN Axerophthol

CN Bentavit A

CN Biosterol

CN Cylasphere

CN Disatabs Tabs

CN Dofsol

CN Dohyfral A

CN Epiteliol

CN Hi-A-Vita

CN Lard Factor

CN Myvpack

CN Nio-A-Let

CN NSC 122759

CN Oleovitamin a

CN Ophthalamine

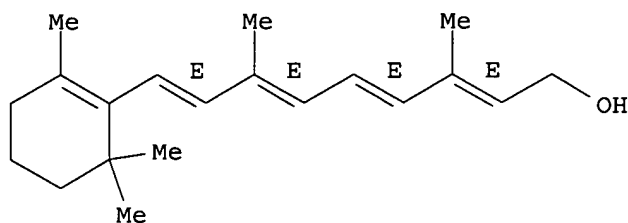
CN Plivit A

CN Prepalin

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for DISPLAY

FS STEREOSEARCH  
 DR 13123-33-6, 17104-91-5, 5979-23-7  
 MF C20 H30 O  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS,  
 BIOSIS,  
 BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS,  
 CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM\*, DIOGENES,  
 DRUGU, EMBASE, GMELIN\*, HSDB\*, IFICDB, IFIPAT, IFIUDB, IPA, MRCK\*,  
 MSDS-OHS, NAPRALERT, NIOSHTIC, PHAR, PIRA, PROMT, RTECS\*, SPECINFO,  
 SYNTHLINE, TOXCENTER, ULIDAT, USAN, USPAT2, USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*, WHO  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

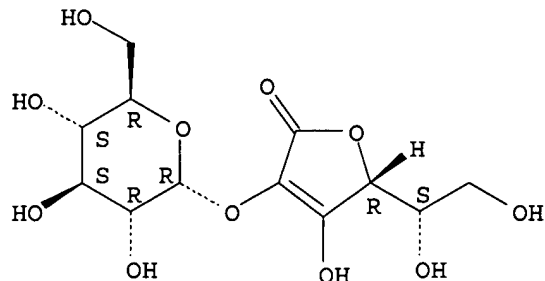
7548 REFERENCES IN FILE CA (1947 TO DATE)  
 666 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 7557 REFERENCES IN FILE CAPLUS (1947 TO DATE)  
 61 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s ascorbic acid-2-glucoside/cn  
 L2 0 ASCORBIC ACID-2-GLUCOSIDE/CN  
  
 => s ascorbic acid glucoside  
 3523 ASCORBIC  
 6009361 ACID  
 2252 GLUCOSIDE  
 L3 1 ASCORBIC ACID GLUCOSIDE  
 (ASCORBIC (W) ACID (W) GLUCOSIDE)  
  
 => d 13

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 129499-78-1 REGISTRY  
 CN L-Ascorbic acid, 2-O-.alpha.-D-glucopyranosyl- (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 2-O-.alpha.-D-Glucopyranosyl-L-ascorbic acid  
 CN 2-O-.alpha.-D-Glucosyl-L-ascorbic acid  
 CN AA 2G  
 CN L-Ascorbic acid 2-glucoside  
 CN L-Ascorbic acid glucoside  
 FS STEREOSEARCH  
 DR 152452-81-8, 149614-94-8, 189746-43-8, 286844-98-2, 334667-58-2,  
 340136-52-9, 446287-26-9

MF C12 H18 O11  
 CI COM  
 SR CA  
 LC STN Files: BEILSTEIN\*, BIOSIS, CA, CANCERLIT, CAPLUS, CASREACT,  
 CHEMLIST, MEDLINE, TOXCENTER, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

175 REFERENCES IN FILE CA (1947 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 175 REFERENCES IN FILE CAPLUS (1947 TO DATE)

=> s pemulen/cn  
 L4 0 PEMULEN/CN

=> s pemulen  
 L5 7 PEMULEN

=> d 1-7

L5 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 514213-06-0 REGISTRY  
 CN **Ethanol, 2,2',2''-nitrilotris-, compd. with Pemulen TR 2 (9CI)**  
 (CA INDEX NAME)  
 MF C6 H15 N O3 . x Unspecified  
 SR CA  
 LC STN Files: CA, CAPLUS

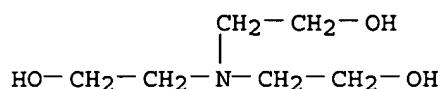
CM 1

CRN 145687-02-1  
 CMF Unspecified  
 CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 102-71-6  
 CMF C6 H15 N O3



1 REFERENCES IN FILE CA (1947 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 433942-25-7 REGISTRY  
CN 1-Propanol, 3-amino-2-methyl-, compd. with Pemulen TR 1 (9CI)  
(CA INDEX NAME)  
MF C4 H11 N O . x Unspecified  
SR CA  
LC STN Files: CA, CAPLUS

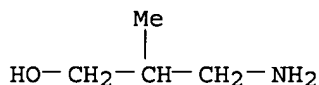
CM 1

CRN 138789-85-2  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 15518-10-2  
CMF C4 H11 N O



1 REFERENCES IN FILE CA (1947 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 433942-23-5 REGISTRY  
CN Ethanol, 2,2',2''-nitrilotris-, compd. with Pemulen TR 1 (9CI)  
(CA INDEX NAME)  
MF C6 H15 N O3 . x Unspecified  
SR CA  
LC STN Files: CA, CAPLUS

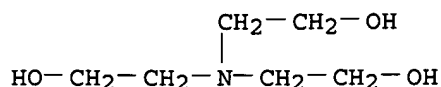
CM 1

CRN 138789-85-2  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 102-71-6  
CMF C6 H15 N O3



1 REFERENCES IN FILE CA (1947 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 252765-56-3 REGISTRY  
CN **Pemulen 1621 (9CI)** (CA INDEX NAME)  
ENTE A crosslinked hydrophobically-modified acrylic acid polymer (B.F. Goodrich)  
MF Unspecified  
CI PMS, MAN  
PCT Manual registration  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
3 REFERENCES IN FILE CA (1947 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 201749-79-3 REGISTRY  
CN **Pemulen 1622 (9CI)** (CA INDEX NAME)  
ENTE A hydrophobically-modified acrylic acid copolymer (BF Goodrich)  
MF Unspecified  
CI PMS, MAN  
PCT Manual registration  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
7 REFERENCES IN FILE CA (1947 TO DATE)  
7 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 145687-02-1 REGISTRY  
CN **Pemulen TR 2 (9CI)** (CA INDEX NAME)  
ENTE An acrylate-C10-30-alkyl acrylate copolymer used in an emulsifier mixture (B.F. Goodrich)  
MF Unspecified  
CI PMS, COM, MAN  
PCT Manual registration  
SR CA  
LC STN Files: BIOBUSINESS, BIOSIS, CA, CAPLUS, IPA, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
195 REFERENCES IN FILE CA (1947 TO DATE)  
195 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L5 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 138789-85-2 REGISTRY  
CN **Pemulen TR 1 (9CI)** (CA INDEX NAME)  
ENTE A polymeric acrylic emulsifier with lipophilic and hydrophilic portions; described as acrylic acid-stearyl methacrylate-pentaerythritol triallyl ether copolymer (B.F. Goodrich Co.)

MF Unspecified  
CI PMS, COM, MAN  
PCT Manual registration  
SR CA  
LC STN Files: BIOBUSINESS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

253 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

=> d 1-24 ibib kwic

L12 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:396693 CAPLUS

DOCUMENT NUMBER: 138:406598

TITLE: Topical cosmetic compositions containing enzymes stabilized with inhibitors

INVENTOR(S): Elliott, Russell Phillip; Weisgerber, David John; Saunders, Charles Winston

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003041680	A1	20030522	WO 2002-US35765	20021107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-338149P P 20011113

OTHER SOURCE(S): MARPAT 138:406598

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

IT 50-81-7, Vitamin C, biological studies 56-40-6, Glycine, biological studies 56-41-7, Alanine, biological studies 56-45-1, Serine, biological studies 56-81-5, Glycerin, biological studies 56-86-0D, Glutamic acid, acyl derivs. 58-08-2, Caffeine, biological studies 58-95-7, Tocopherol acetate 64-18-6D, Formic acid, salts 65-23-6, Pyridoxine 68-26-8, Retinol 79-14-1D, Glycolic acid, salts 79-81-2, Retinol palmitate 81-13-0, Panthenol 83-67-0, Theobromine 87-99-0, Xylitol 97-59-6, Allantoin 98-80-6, Phenylboronic acid 98-92-0, Niacinamide 107-36-8D, Isethionic acid, alkyl esters 107-97-1D, Sarcosine, alkyl derivs. 110-15-6D, Succinic acid, salts 110-63-4, Butane 1,4-diol, biological studies 124-04-9D, Adipic acid, salts 141-53-7D, Sodium formate, salts 141-82-2D, Malonic acid, salts 147-85-3, Proline, biological studies 147-85-3D, L-Proline, derivs. 149-32-6, Erythritol 151-21-3, Sodium lauryl sulfate, biological studies 302-79-4, Retinoic acid 407-64-7, .gamma.-Butyrobetaine 515-69-5, .alpha.-Bisabolol 541-15-1, Carnitine 1184-78-7, Trimethylamine oxide 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1932-50-9, Potassium glycolate 2235-54-3, Ammonium lauryl sulfate 3416-24-8, Glucosamine 4426-47-5, Butylboronic acid 4602-84-0, Farnesol 5704-04-1, Tricine 6458-06-6, .beta.-Alanine betaine 7069-42-3, Retinyl propionate 7664-38-2D, Phosphoric acid,

monoalkyl esters 7664-93-9D, Sulfuric acid, alkyl ethers or esters,  
salts 9003-11-6 9004-82-4, Sodium laureth sulfate 9014-01-1D,  
Subtilisin, engineered recombinant forms 10043-35-3, Boric acid,  
biological studies 16068-46-5, Potassium phosphate 17087-29-5,

Alanine

betaine 23284-33-5, Lysine betaine 25322-68-3, Polyethylene glycol  
25322-68-3D, Polyethylene glycol, alkyl ethers 25323-24-4, Hexanetriol  
26100-47-0, Ammonium acrylate-acrylamide copolymer 27636-64-2, Lauryl  
glucoside 31904-60-6, Butanetetrol 32612-48-9, Ammonium laureth  
sulfate 33403-10-0, Potassium lauryl phosphate 43119-47-7, Tocopherol  
nicotinate 53948-31-5, Valine betaine 56755-22-7, Phenylalanine  
betaine 56987-64-5, Glutamic acid betaine 58846-77-8, Decyl glucoside  
66101-16-4 73573-88-3, Mevastatin 74563-64-7, Phytantriol  
75330-75-5, Lovastatin 87199-17-5, p-Formylphenylboronic acid  
96702-03-3, Ectoin 108910-78-7, Magnesium ascorbyl phosphate  
**129499-78-1** 156028-14-7, Sodium lauroamphoacetate 165542-15-4,  
HydroxyEctoin 214047-00-4 528569-57-5 528569-58-6 528569-59-7  
528569-60-0

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(topical cosmetic compns. contg. enzymes stabilized with inhibitors)

L12 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:396682 CAPLUS  
DOCUMENT NUMBER: 138:406597  
TITLE: Cosmetic compositions containing enzymes stabilized  
with osmo-protectants  
INVENTOR(S): Elliott, Russell Phillip; McKay, Barnaby George  
Robert  
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA  
SOURCE: PCT Int. Appl., 51 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003041667	A2	20030522	WO 2002-US35766	20021107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-338042P P 20011113

OTHER SOURCE(S): MARPAT 138:406597

IT 50-81-7, Vitamin C, biological studies 56-40-6, Glycine, biological  
studies 56-41-7, Alanine, biological studies 56-45-1, Serine,  
biological studies 56-81-5, Glycerin, biological studies 56-86-0D,  
Glutamic acid, acyl derivs. 58-08-2, Caffeine, biological studies  
58-95-7, Tocopheryl acetate 64-18-6D, Formic acid, salts 65-23-6,  
Pyridoxine **68-26-8**, **Retinol** 79-14-1D, Glycolic acid,  
salts 79-81-2, **Retinol** palmitate 81-13-0, Panthenol  
83-67-0, Theobromine 87-99-0, Xylitol 97-59-6, Allantoin 98-92-0,



Niacinamide 107-35-7, Taurine 107-36-8D, Isethionic acid, alkyl  
 derivs. 107-43-7, Trimethylglycine 107-97-1D, Sarcosinic acid, acyl  
 derivs. 110-15-6D, Succinic acid, salts 110-63-4, 1,4-Butanediol,  
 biological studies 124-04-9D, Adipic acid, salts 141-53-7, Sodium  
 formate 141-82-2D, Malonic acid, salts 147-85-3, Proline, biological  
 studies 147-85-3D, L-Proline, derivs. 149-32-6, Erythritol  
 150-25-4,  
 Bicine 151-21-3, Sodium lauryl sulfate, biological studies 302-79-4,  
 Retinoic acid 407-64-7, .gamma.-Butyrobetaine 515-69-5,  
 .alpha.-Bisabolol 541-15-1, Carnitine 1118-68-9, Dimethylglycine  
 1184-78-7, Trimethylamine oxide 1406-16-2, Vitamin D 1932-50-9,  
 Potassium glycolate 2235-54-3, Ammonium lauryl sulfate 2922-54-5  
 3416-24-8, Glucosamine 4602-84-0, Farnesol 5704-04-1, Tricine  
 6458-06-6, .beta.-Alanine betaine 7069-42-3, Retinyl propionate  
 7541-59-5, 1,2,3,4-Butanetetrol 7664-38-2D, Phosphoric acid, monoalkyl  
 esters 7664-93-9D, Sulfuric acid, alkyl ester 9003-11-6 9004-82-4,  
 Sodium laureth sulfate 9014-01-1D, Subtilisin, engineered recombinant  
 forms 11138-66-2, Xanthan gum 14265-44-2, Phosphate, biological  
 studies 14808-79-8, Sulfate, biological studies 16068-46-5, Potassium  
 phosphate 17087-29-5, Alanine betaine 23284-33-5, Lysine betaine  
 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol,  
 ethers  
 25323-24-4, Hexanetriol 26100-47-0, Acrylamide-ammonium acrylate  
 copolymer 27836-64-2, Lauryl glucoside 32612-48-9, Ammonium laureth  
 sulfate 33403-10-0, Potassium lauryl phosphate 40623-73-2,  
 Acrylamide-AMPS copolymer 43119-47-7, Tocopheryl nicotinate  
 53948-31-5, Valine betaine 56755-22-7, Phenylalanine betaine  
 56987-64-5, Glutamic acid betaine 58846-77-8, Decyl glucoside  
 66101-16-4 73573-88-3, Mevastatin 74563-64-7, Phytantriol  
 75330-75-5, Lovastatin 87199-17-5 108910-78-7, Magnesium ascorbyl  
 phosphate 129499-78-1 156028-14-7, Sodium lauroamphoacetate  
 214047-00-4 528569-56-4 528569-57-5 528569-58-6 528569-59-7  
 528569-60-0  
 RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
 (cosmetic compns. contg. enzymes stabilized with osmo-protectants)

L12 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:309217 CAPLUS  
 DOCUMENT NUMBER: 138:326280  
 TITLE: Production method of liposomes for cosmetics and  
 pharmaceutical skin compositions  
 INVENTOR(S): Abe, Masahiko; Otake, Katsuto; Hashimoto, Satoru  
 PATENT ASSIGNEE(S): Nissanki K. K., Japan; Nikko Chemicals Co., Ltd.;  
 Nihon Surfactants Industry Co., Ltd.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003119120	A2	20030423	JP 2001-314900	20011012
PRIORITY APPLN. INFO.:			JP 2001-314900	20011012
AB The invention relates to a process for making an active component-including liposome having improved storage stability, suitable for use in a cosmetic and pharmaceutical skin compn., wherein the liposome is formed from phospholipid and/or glycolipid with crit. or subcrit.				

carbonic acid gas. The liposome may further contain a dissoln. aid, e.g. lower alc., glycols, and alkyl carbonate, etc. A liposome was prepd. from

hydrogenated soybean lecithin (Lecinol S10) 6, **vitamin A** alc. 0.2, stearyl glycyrrhetinate 0.01, and water balance to 100 % with super crit. carbonic acid gas. The obtained liposome was combined at 50 %

with other ingredients to make an emollient cream.  
IT 50-81-7, L-Ascorbic acid, biological studies 57-13-6, Urea, biological studies 68-26-8, **Vitamin A** alcohol 70-18-8, Glutathione, biological studies 111-02-4, Squalene 499-44-5, Hinokitiol 7235-40-7, .beta.-Carotene 13832-70-7, Stearyl glycyrrhetinate 68797-35-3, Dipotassium glycyrrhizinate 108910-78-7, L-Ascorbic acid phosphate magnesium salt 129499-78-1, **L-Ascorbic acid glucoside** 338741-74-5, Ceramide III  
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(prodn. method of liposomes contg. active components and lipids with (sub)crit. carbonic acid gas for skin compns.)

L12 ANSWER 4 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:165430 USPATFULL  
TITLE: Use of DHEA derivatives on keratinous substances  
INVENTOR(S): Dalko, Maria, Gif S/Yvette, FRANCE  
Cavezza, Alexandre, Tremblay-En-France, FRANCE  
PATENT ASSIGNEE(S): L'OREAL, Paris, FRANCE (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003113284	A1	20030619
APPLICATION INFO.:	US 2002-279852	A1	20021025 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 2001-13817	20011025
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C.,	
	1940	

DUKE STREET, ALEXANDRIA, VA, 22314  
NUMBER OF CLAIMS: 31  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1619

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . patent application WO 99/22707; L-2-oxothiazolidine-4-carboxylic acid or procysteine, and its salts and esters; ascorbic acid and its derivatives, in particular **ascorbyl glucoside**; and plant extracts, in particular of liquorice, of blackberry and of skull cap, without this list being limiting.

SUMM [0218] retinoids and in particular **retinol**;

SUMM . . . the proliferation of keratinocytes which can be used in the composition according to the present invention include retinoids, such as **retinol** and its esters, including retinyl palmitate; the extracts of walnut meal sold by Gattefosse; and the extracts of Solanum tuberosum. . .

SUMM . . . agents which can be used in the composition according to the present invention include vitamin C and its derivatives, including **ascorbyl glucoside**; phenols and polyphenols, in particular tannins, ellagic acid and tannic acid; epigallocatechin and the natural extracts comprising it; extracts of. . .

SUMM [0360] Suitable examples of hydrophilic gelling agents include carboxyvinyl polymers (carbomer), acrylic copolymers, such as **acrylate/alkyl acrylate** copolymers, polyacrylamides, polysaccharides, clays and natural gums. Suitable examples of lipophilic gelling agents include modified clays, such as bentones, metal. . .

L12 ANSWER 5 OF 24 USPATFULL on STM

ACCESSION NUMBER: 2003:105894 USPATFULL  
 TITLE: Microgel and external compositions containing the same  
 INVENTOR(S): Miyazawa, Kazuyuki, Kanagawa, JAPAN  
 Kaneda, Isamu, Kanagawa, JAPAN  
 Yanaki, Toshio, Kanagawa, JAPAN  
 Nakamura, Tadashi, Kanagawa, JAPAN  
 Ochiai, Masatoshi, Kanagawa, JAPAN  
 Kawasoe, Tomoyuki, Kanagawa, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003072805	A1	20030417
APPLICATION INFO.:	US 2001-936317	A1	20011106 (9)
	WO 2001-JP75		20010111

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2000-2610	20000111
	JP 2000-2611	20000111
	JP 2000-94307	20000330
	JP 2000-94308	20000330

DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: Townsend & Banta, Suite 500, 1225 Eye Street NW,  
 Washington, DC, 20005

NUMBER OF CLAIMS: 14  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1315

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . whitening ingredients. Specific examples of the pharmaceutical ingredient include vitamins and derivatives thereof, such as vitamin B, vitamin P, water-soluble **vitamin A**, and water-soluble vitamin D; pantothenyl ethyl ether; calcium pantothenate; glycyrrhizic acid; glycyrrhizates; glycyrrhetic acid; glycyrrhetinates; royal jelly; polyphenol; nicotinic acid. . .

SUMM . . . L-ascorbic acid trialkyl esters such as L-ascorbyl tristearate, L-ascorbyl tripalmitate, and L-ascorbyl trioleate; ascorbic acid triesters such as L-ascorbyl triphosphate; L-**ascorbic acid glucoside** such as L-**ascorbic acid 2-glucoside**; and salts thereof. of the L-ascorbic acid and derivatives thereof, L-ascorbic acid, L-ascorbyl phosphate, L-ascorbyl-2-sulfate, L-**ascorbic acid 2-glucoside**, or a salt thereof is preferably used.

DETD . . . Ex. 10 Ex. 1 Ex. 2 Ex. 3 Ex. 4

	Amount (mass %)
L-Ascorbic acid	-- 0.2 -- -- --
-- --	
L-Ascorbic acid 2-glucoside	-- -- 2.0
2.0 -- --	2.0

Magnesium L-ascorbyl phosphate      3.0      --      --      --      3.0  
--      --

Gultathione      --      --      0.1      0.1.      .      .

DETD [0089] Viscosity increasing agent A (50 mass %), **ascorbic acid 2-glucoside** (2 mass %), and emulsion part A (48 mass %) were mixed under stirring for emulsification, to thereby yield an.      .      .

DETD [0090] Independently, **ascorbic acid 2-glucoside** (2 mass %) and emulsion part A (98 mass %) were mixed under stirring for emulsification, to thereby yield an.      .      .

DETD [0111] The thus-obtained emulsion part D (80 mass %), **ascorbic acid 2-glucoside** (2 mass %), and viscosity control agent A prepared in Example 27 (18 mass %) were mixed, to thereby yield.      .      .

IT 50-81-7, L-Ascorbic acid, biological studies 70-18-8, Glutathione, biological studies 497-76-7, Arbutin 1197-18-8, Tranexamic acid 108910-78-7, L-Ascorbic acid phosphate magnesium salt **129499-78-1**, L-Ascorbic acid 2-glucoside  
(cosmetic microgels contg. hydrophilic compds. and thickening agents and skin-whitening agents)

L12 ANSWER 6 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:100080 USPATFULL

TITLE: Pantethinesulphonic acid and/or a salt thereof as a free-radical scavenger

INVENTOR(S): Potin, Anthony, Paris, FRANCE  
Touzan, Philippe, Paris, FRANCE  
Pelletier, Pascale, Antony, FRANCE

PATENT ASSIGNEE(S): L'OREAL, Paris, FRANCE (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003069191	A1	20030410
APPLICATION INFO.:	US 2002-244666	A1	20020917 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 2001-11993	20010917
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C.,	
	1940	

DUKE STREET, ALEXANDRIA, VA, 22314

NUMBER OF CLAIMS: 20

EXEMPLARY CLAIM: 1

LINE COUNT: 581

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . patent application WO 99/22707; L-2-oxothiazolidine-4-carboxylic acid or procysteine, and also its salts and esters; ascorbic acid and its derivatives, especially **ascorbyl glucoside**; and plant extracts, in particular of liquorice, of mulberry and of skullcap, without this list being limiting. **Ascorbyl glucoside** is preferred for use in the present invention.

SUMM . . . tartaric acid; .beta.-hydroxy acids and especially salicylic acid and its derivatives; .alpha.-keto acids and .beta.-keto acids; retinoids and in particular **retinol** and retinyl esters; HMG-CoA reductase inhibitors; and sugar derivatives such as O-octanoyl-6'-.beta.-D-maltose. .beta.-Hydroxy acids are preferred for use in the.      .      .

SUMM . . . that may be used in the composition according to the invention

include in particular vitamin C and its derivatives including **ascorbyl glucoside**; phenols and polyphenols, in particular tannins, ellagic acid and tannic acid; epigallocatechin and natural extracts containing it; extracts of olive. . .

DETD . . . . EDTA 0.2%  
 Neutralizers 0.2%  
 Gelling agents 2.0%  
 Glycerol 3%  
 Preserving agents 0.5%  
 5-n-Octanoylsalicylic acid 0.1%  
 Cetyl alcohol 1%  
 Cyclohexasiloxane 1%  
**Ascorbyl glucoside**  
 0.05%  
 Calcium pantethinesulphonate as an aqueous 0.1%  
 70% solution  
 Water qs 100%.sup.

CLM What is claimed is:  
 7. The composition according to claim 4, wherein the melanogenesis inhibitor is **ascorbyl glucoside**.  
 12. The composition according to claim 4, wherein the melanogenesis inhibitor is selected from the group consisting of **ascorbic acid** and **ascorbyl glucoside** and wherein the desquamating agent is selected from the group consisting of salicylic acid and 5-n-octanoylsalicylic acid.

IT 50-81-7, Ascorbic acid, biological studies 69-72-7, Salicylic acid, biological studies 34644-00-3, Calcium-pantetheine-S-sulfonate 34644-01-4D, salts 78418-01-6, n-Octanoyl-5-salicylic acid **129499-78-1**  
 (use of pantetheine sulfonic acid and/or salts thereof as anti-radical agent)

L12 ANSWER 7 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:78101 USPATFULL  
 TITLE: 7-oxo-DHEA compounds for treating keratinous conditions/afflictions  
 INVENTOR(S): Dalko, Maria, Gif Sur Yvette, FRANCE  
 Cavezza, Alexandre, Tremblay-En-France, FRANCE  
 Picard-Lesboueyries, Elisabeth, Velizy, FRANCE  
 Renault, Beatrice, Saint Maurice, FRANCE  
 Burnier, Veronique, Paris, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003054021	A1	20030320
APPLICATION INFO.:	US 2002-170679	A1	20020614 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 2001-7804	20010614
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Norman H. Stepno, Esquire, BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	26	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1556	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . those described in WO-99/22707; L-2-oxothiazolidine-4-carboxylic acid or procysteine, and also its salts and esters; ascorbic acid and derivatives thereof, especially **ascorbyl glucoside**; and plant extracts, in particular extracts of liquorice, of mulberry and of skullcap, this list not intended to be limiting.

SUMM [0117] retinoids, and in particular **retinol**;

SUMM . . . for stimulating keratinocyte proliferation that may be formulated into the compositions according to the invention especially comprise retinoids such as **retinol** and its esters, including retinyl palmitate; extracts of nut cakes marketed by Gattefosse; and extracts of Solanum tuberosum marketed by. . .

SUMM . . . that may be formulated into the compositions according to the invention are, in particular, vitamin C and derivatives thereof, including **ascorbyl glucoside**; phenols and polyphenols, in particular tannins, ellagic acid and tannic acid; epigallocatechin and natural extracts containing same; extracts of olive. . .

SUMM [0288] And exemplary hydrophilic gelling agents include in particular, carboxyvinyl polymers (carbomer), acrylic copolymers such as **acrylate/alkyl acrylate** copolymers, polyacrylamides, polysaccharides, natural gums and clays. Exemplary lipophilic gelling agents include, in particular, modified clays, for example bentones, metal. . .

L12 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:868707 CAPLUS  
DOCUMENT NUMBER: 137:341919  
TITLE: Facial cleansers containing enzymes and moisturizers  
INVENTOR(S): Mori, Noriko; Ogimoto, Naoto; Kajinami, Shukuko;  
Hamada, Shoichi; Ikeda, Keiji  
PATENT ASSIGNEE(S): Kabushiki Kaisha Hayashibara Seibutsu Kagaku  
Kenkyujo,  
Japan  
SOURCE: PCT Int. Appl., 28 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089761	A1	20021114	WO 2002-JP4408	20020502
W: KR, US				
JP 2002326924	A2	20021115	JP 2001-136348	20010507
PRIORITY APPLN. INFO.:			JP 2001-136348	A 20010507
REFERENCE COUNT:	8	THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE		

FORMAT

IT 50-81-7, Ascorbic acid, biological studies 50-99-7, D-Glucose, biological studies 99-20-7, Trehalose 117-39-5, Quercetin 482-35-9 520-26-3, Hesperidine 1406-16-2, Vitamin D 1406-18-4, Vitamin E 9001-62-1, Lipase 9001-92-7, Protease 10236-47-2, Naringin 11103-57-4, **Vitamin A** 12001-76-2, Vitamin B 12001-79-5, Vitamin K **129499-78-1**, 2-O-.alpha.-D-Glucopyranosyl-L-ascorbic acid  
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(facial cleansers contg. enzymes and beneficial agents)

L12 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:716042 CAPLUS  
DOCUMENT NUMBER: 137:252698  
TITLE: Topical pharmaceutical, cosmetic, and food  
preparations containing pyridoxine-.alpha.-D-  
glucosides  
INVENTOR(S): Yamamoto, Takashi; Nakayama, Hiroki  
PATENT ASSIGNEE(S): Pentapharm Ltd., Switz.  
SOURCE: PCT Int. Appl., 20 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072039	A2	20020919	WO 2002-EP2599	20020308
WO 2002072039	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2002265316	A2	20020918	JP 2001-67586	20010309
JP 2002265368	A2	20020918	JP 2001-67587	20010309
PRIORITY APPLN. INFO.:			JP 2001-67586	A 20010309
			JP 2001-67587	A 20010309
			JP 2002-16113	A 20020124
IT 50-81-7, Ascorbic acid, biological studies 60-32-2, .epsilon.- Aminocaproic acid 68-26-8, Retinol 68-26-8D, Retinol, derivs. 79-83-4, Pantothenic acid 79-83-4D, Pantothenic acid, derivs. 89-86-1 89-86-1D, glycosides, esters 92-52-4D, Biphenyl, derivs. 96-26-4, Dihydroxyacetone 96-26-4D, Dihydroxyacetone, derivs. 97-59-6, Allantoin 97-59-6D, Allantoin, derivs. 99-20-7, Trehalose 107-43-7D, Betaine, derivs. 107-68-6D, Methyltaurine, acyl derivs. 108-46-3D, Resorcinol, derivs. 108-95-2D, Phenol, derivs. 108-97-4D, .gamma.-Pyrone, glycosides 123-31-9D, Hydroquinone, glycosides 123-99-9, Azelaic acid, biological studies 123-99-9D, Azelaic acid, derivs. 331-39-5D, Caffeic acid, derivs. 471-53-4, Glycyrrhetic acid 471-53-4D, Glycyrrhetic acid, esters, derivs. 476-66-4D, Ellagic acid, analogs, salts 497-76-7, Arbutin 501-30-4, Kojic acid 660-27-5, Diisopropylamine dichloroacetate 1197-18-8, Tranexamic acid 1406-18-4, Vitamin e 1406-18-4D, Vitamin e, esters and derivs. 1406-18-4D, Vitamin e, esters, derivs. 3416-24-8, Glucosamine 3416-24-8D, Glucosamine, derivs. 6809-52-5, Teprenone 7631-90-5, Sodium hydrogen sulfite 9012-76-4, Chitosan 9012-76-4D, Chitosan, derivs. 16816-67-4, Pantethine 18979-61-8, 4-Butylresorcinol 19316-63-3, Pyridoxine-5'-.alpha.-D-glucoside 25429-38-3, Hydroxycinnamic acid 25429-38-3D, Hydroxycinnamic acid, derivs. 25573-04-0, Pyridoxine-4'-.alpha.-D-glucoside 30473-47-3, Pyridoxine .alpha.-D-glucoside 34644-01-4D, salts 43119-47-7, Vitamin E nicotinate 84380-01-8, .alpha.-Arbutin 108910-78-7, Magnesium ascorbyl				

phosphate 129499-78-1, L-Ascorbic acid  
 glucoside 193070-41-6, Kojic acid glucoside  
 RL: COS (Cosmetic use); FFD (Food or feed use); THU (Therapeutic use);  
 BIOL (Biological study); USES (Uses)  
 (ext., topical pharmaceutical, cosmetic, and food preps. contg.  
 pyridoxine glucosides)

L12 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:384291 CAPLUS  
 DOCUMENT NUMBER: 136:374566  
 TITLE: Skin composition containing 2-O-glucopyranosyl-L-ascorbic acid in combination with other active components  
 INVENTOR(S): Koga, Nobuyoshi; Maruyama, Nao; Sakamoto, Tetsuo; Tomita, Kenichi  
 PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002145759	A2	20020522	JP 2001-246127	20010814
PRIORITY APPLN. INFO.:			JP 2000-257283	A 20000828
OTHER SOURCE(S): MARPAT 136:374566				

AB The invention relates to a skin compn. providing improved skin-lightening effect, wherein the compn. contains 2-O-glucopyranosyl-L-ascorbic acid in combination with other active component, e.g. **vitamin A** acid, vitamin B6 hydrochloride, Lamium album ext., allantoin, DL-.alpha.-tocopherol-2-L-ascorbic acid phosphate diester, vitamin E, hydroquinone glycoside, saikosaponin, tranexamic acid, kojic acid, rutin, placenta ext., ethynylestradiol, urocanic acid, mucopolysaccharide, and/or

their deriv. A cosmetic emulsion contg. polyoxyethylene polyoxypropylene cetyl alc. ether 1, silicone KF 96 2, liq. paraffin 3, propylene glycol

5, glycerin 2, Et alc. 5, carboxyvinyl polymer 0.3, hydroxypropyl cellulose 0.1, 2-aminomethyl propanol 0.1, **vitamin A** acid 0.05, 2-O-.alpha.-glucopyranosyl-L-ascorbic acid 2, preservative and fragrance q.s., and water balance to 100 % was prepd.

IT 57-63-6, Ethynylestradiol 58-95-7, Tocopherol acetate 97-59-6, Allantoin 102-71-6, Triethanolamine, biological studies 104-98-3, Urocanic acid 151-21-3, Sodium lauryl sulfate, biological studies 153-18-4, Rutin 302-79-4, **Vitamin A** acid 497-76-7, Arbutin 501-30-4, Kojic acid 683-10-3, Lauryldimethylaminoacetate betaine 1197-18-8, Tranexamic acid 1323-39-3, Propylene glycol stearate 1406-18-4, Vitamin E 2571-88-2, Dimethylstearyl amineoxide 7360-38-5, Glyceryl tri-2-ethylhexanoate 9004-61-9, Hyaluronic acid 9004-95-9, Polyoxyethylene cetyl ether 9004-96-0, Polyoxyethylene monooleate 9004-98-2, Polyoxyethylene oleyl alcohol ether 9005-67-8, Polyoxyethylene sorbitan monostearate 12001-77-3, Vitamin B6 hydrochloride 25496-72-4, Glycerin monooleate 31566-31-1, Glyceryl monostearate 37311-01-6, Ethylene oxide-propylene oxide copolymer cetyl ether 58316-41-9, Saikosaponin b2 63705-03-3, Polyglyceryl diisostearate 67938-21-0, Diglyceryl diisostearate 84101-04-2, Polyoxyethyleneglyceryl monoisostearate 96301-17-6 98253-20-4, Saikosaponin 102033-55-6, Decaglycerin diisostearate 129499-78-1



130603-71-3 132697-38-2

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(skin-lightening compn. contg. 2-O-glucopyranosyl-L-ascorbic acid in  
combination with other active components)

L12 ANSWER 11 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:343505 USPATFULL

TITLE: Skin care kit

INVENTOR(S): LaSala, William Kater, Mason, OH, UNITED STATES  
Martin, Ty Eric, Loveland, OH, UNITED STATES  
Dawes, Nancy Coultrip, Cincinnati, OH, UNITED STATES  
Ha, Robert Bao Kim, Milford, OH, UNITED STATES  
Gehring, Debra Gay, Cincinnati, OH, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002197228	A1	20021226
APPLICATION INFO.:	US 2002-157589	A1	20020529 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-294136P	20010529 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	THE PROCTER & GAMBLE COMPANY, INTELLECTUAL PROPERTY DIVISION, WINTON HILL TECHNICAL CENTER - BOX 161, 6110 CENTER HILL AVENUE, CINCINNATI, OH, 45224	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	5 Drawing Page(s)	
LINE COUNT:	1818	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . opacifying agents, pH adjusters, propellants, reducing agents, sequestrants, skin bleaching and lightening agents (e.g., hydroquinone, kojic acid, ascorbic acid, magnesium **ascorbyl** phosphate, **ascorbyl glucoside** ascorbyl glucosamine, pyridoxine), skin-conditioning agents (e.g., humectants, including miscellaneous and occlusive), skin soothing and/or healing agents (e.g., panthenol and derivatives. . .

DETD . . . contain a safe and effective amount of a retinoid. As used herein, "retinoid" includes all natural and/or synthetic analogs of **Vitamin A** or **retinol**-like compounds which possess the biological activity of **Vitamin A** in the skin as well as the geometric isomers and stereoisomers of these compounds. The retinoid is preferably selected from **retinol**, **retinol** esters (e.g., C.sub.2-C22 alkyl esters of **retinol**, including retinyl palmitate, retinyl acetate, retinyl propionate), retinal, and/or retinoic acid (including all-trans

retinoic acid and/or 13-cis-retinoic acid), or mixtures. . . are tocopheryl-retinoate [tocopherol ester of retinoic acid (trans- or cis-), adapalene {6-[3-(1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid}, and tazarotene (ethyl 6-[2-(4,4-dimethylthiochroman-6-yl)-ethynyl]nicotinate). Preferred retinoids are **retinol**, retinyl palmitate, retinyl acetate, retinyl propionate, retinal and combinations thereof.

DETD . . . ascorbic acid (vitamin C) and its salts, ascorbyl esters of fatty acids, ascorbic acid derivatives (e.g., magnesium ascorbyl

phosphate, sodium **ascorbyl** phosphate, **ascorbyl glucoside**, ascorbyl sorbate), tocotrienols, tocopherol (vitamin E), tocopherol sorbate, tocopherol acetate, other esters of tocopherol, butylated hydroxy benzoic acids and their. . .

DETD . . . (i.e., C.sub.1-.sub.4alcohol) esters, wherein the crosslinking agent is an allyl ether of sucrose or pentaerytritol. These copolymers are known as **acrylates/C.sub.10-.sub.30 alkyl acrylate** crosspolymers and are commercially available as Carbopol.RTM. 1342, Carbopol.RTM. 1382, **Pemulen** TR-1, and **Pemulen** TR-2, from B.F. Goodrich. Examples of carboxylic acid polymer thickeners useful herein are those selected from carbomers, acrylates/C.sub.10-C.sub.30 alkyl acrylate. . .

DETD . . . 1.00  
           Octyl Salicylate  
       5.00  
           Isopropyl Palmitate 7.00  
       6.00  
           EA-209.sup.8 2.5  
           Tospearl 2000 7.00 1.00  
 PHASE C    Finsolv TN 2.00 2.00 2.00  
           **Retinol** 0.10  
           Retinyl Propionate 0.20 0.20

.sup.1Can be obtained from Chimex as Mexoryl SX  
 .sup.2Peptide can be obtained from Sederma  
 .sup.312% Dimethicone/Vinyl. . .

L12 ANSWER 12 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:126050 USPATFULL  
 TITLE: Composition to enhance permeation of topical skin agents  
 INVENTOR(S): Kung, John, Somerset, NJ, UNITED STATES  
               Liu, Jue-Chen, Belle Mead, NJ, UNITED STATES  
               Niemiec, Susan, Yardley, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002064560	A1	20020530
APPLICATION INFO.:	US 2001-20623	A1	20011207 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1999-361426, filed on 27 Jul 1999, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104060P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AUDLEY A. CIAMPORCERO JR., JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	712	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 30 carbon atoms is used in the products and compositions of this invention. Most preferably, the polymeric emulsifier should be **Pemulen\***, an **acrylate/C10-30 alkyl acrylate** crosspolymer commercially available from B.F. Goodrich Specialty Chemicals of Cleveland, Ohio. Surprisingly, delivery systems containing lipophilic topical active ingredients formulated in the compositions of this invention in conjunction with **Pemulen\***

provided enhanced penetration of the lipophilic topical active ingredient. Preferably, the polymeric emulsifier should be present in the compositions of. . .

SUMM . . . be hydrophilic topically active agents themselves. Sugars that may be useful in the compositions of this invention include, for example, **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and the like. Preferably, the sugar should be present in the compositions of this. . .

SUMM . . . concentrations of topical actives could be delivered, depending upon the type of benefit desired. For example, a retinoid such as **retinol** may be utilized in a composition to combat wrinkles and prevent photodamage while **ascorbic acid-2-glucoside** may be utilized for the purpose of promoting even skin tone or preventing sun-induced erythema. Therefore, under some circumstances, the **retinol** benefit may be up-regulated in order to provide treatment of wrinkles while the penetration into the skin of another undesirable. . .

SUMM . . . this invention assist in enhancing skin penetration of hydrophobic, also known as lipophilic, compounds. More particularly, hydrophobic vitamins such as **retinol** and tocopherol and the like may be incorporated into the compositions of this invention as active agents. To maximize the. . .

DETD . . . 1.00%

Dimethicone	1.00%
Cetyl alcohol	2.50%
Cetearyl glucoside	1.40%
Tocopheryl acetate and	0.55%
Tocopherol	
Sunscreen	4.00%
Preservative	1.25%
Stabilizers	1.10%
<b>Retinol</b>	0.04%

DETD . . .

Ingredient	Weight Percent
Water	78.04%
Glycerin	3.00%
D panthenol	0.50%
Disodium EDTA	0.10%
Preservative	0.73%
Preservative	0.35%
<b>Acrylates/C10-30</b>	0.25%
<b>Alkyl</b>	
<b>Acrylate</b> Cross-Polymer	0.40%
Carbomer	
Ascorbic Acid	0.01%
Dibutylhydroxy-toluene	0.10%
Cetyl Alcohol	2.00%
C.sub.12-15 alkyl benzoate	4.00%
Octyl hydroxy stearate	1.00%
Dimethicone	1.00%
Di-alpha tocopheryl acetate	0.50%
Octyl methoxy-cinnamate	4.00%
Propyl paraben	0.17%
Na hydroxide (10%)	2.60%

Retinol 50c	0.20%
Tocopherol	0.05%
Thea Sinesis Extract	1.00%
DETD . . . 0.01%	
Dibutylhydroxytoluene	0.10%
Cetearyl glucoside	1.40%
Cetyl Alcohol	2.00%
C.sub.12-15 alkyl benzoate	4.00%
Octyl hydroxy stearate	1.00%
Dimethicone	1.00%
Di-alphatocopheryl	0.50%
acetate	
Octyl methoxycinnamate	4.00%
Propyl paraben	0.17%
Na hydroxide (10%)	2.45%
Retinol 50c	0.20%
Polyacrylamide & laureth	0.70%
7 & C13-C14 isoparaffin	
Tocopherol	0.05%
Thea Sinesis Extract	1.00%
Formulation D:	
Water	72.82%
Glycerin	3.00%
D panthenol	0.50%
Disodium EDTA	0.10%
Preservative. . . 0.40%	
Carbomer	
Ascorbic Acid	0.01%
Dibutylhydroxy-toluene	0.10%
Steareth-10	2.00%
Cetyl Alcohol	2.00%
C.sub.12-15 alkyl benzoate	4.00%
Octyl hydroxy stearate	1.00%
Dimethicone	1.00%
Di-alpha tocopheryl	0.50%
acetate	
Octyl	4.00%
methoxycinnamate	
Preservative	0.17%
Na hydroxide (10%)	5.05%
Retinol 50c	0.20%
Tocopherol	0.05%
Thea Sinesis Extract	1.00%
Formulation F:	
Water	49.484
Squalane	15.000
Glycerin	10.000
Macademia Nut Oil	7.000
Pentaerythritol Tetraoctanoate	5.000
Butylene Glycol	4.000
Petrolatum	3.000
Quaternium 18 Hectorite	2.700
Polyglyceryl-2-Diisostearate	2.000
PEG 150	1.000
Retinol	0.166
Trisodium EDTA	0.100
Ascorbic Acid	0.100
Sodium Citrate	0.100
Tocopheryl Acetate	0.100
Preservative	0.100

Preservative 0.100  
 Butylated Hydroxytoluene (BHT) 0.050  
 DETD . . . . purged from the water, glycerin, panthenol, disodium EDTA, a first preservative and ascorbic acid were added to the beaker. The **acrylates/C10-30 alkyl acrylate** and carbomer were then added to the water phase. The beaker was then transferred to

a vacuum close kettle homogenizer. . . with NaOH (10%) and the temperature held at 70-75.degree. C. for phasing. The remainder of the ingredients but for the **Retinol**, Tocopherol and Thea Sinesis Extract were combined in a separate beaker and heated to 70-75.degree. C. When both phases were. . . the oil phase was added to the water phase under vacuum and homogenized together. The beaker was then cooled slowly. **Retinol** was added when the temperature reached 55.degree. C. and Tocopherol and Thea Sinesis extract added at 45.degree. C. Formulation C. . .

DETD . . . . water phase was added to oil phase slowly and the heated was stopped. At 50.degree. C., Vitamin E acetate and **retinol** were added. The whole process should be under argon and yellow light conditions.

DETD . . . . active ingredient was calculated based upon a percentage of applied dose. For these studies, the penetration of a lipophilic agent ( **retinol** and a hydrophilic agent (**ascorbic acid 2-glucoside**, or "AA2G") were investigated.

DETD . . . . formulations investigated are set forth in Table 1 below:

TABLE 1

% of applied			% of applied	
			dose of <b>retinol</b>	
Enhancement	dose of AA-2G	Enhancement	delivered into	factor of
<b>retinol</b> delivered into	factor of AA-2G			
Composition	Ingredients		epidermis	delivery
epidermis	delivery			
A	Conventional	Cetearyl Glucoside	0.175%	1.00
	N/A	N/A		
	emulsifier (Control)			
B.				
DETD	. . . . be seen that a control formulation (Formulation A) containing only cetearyl glucoside delivered only 0.175% of the applied dose of <b>retinol</b> into the epidermis. Surprisingly, however, when a formulation containing hydrophobically modified acrylic acid emulsifier was used (Formulation B), the percentage of <b>retinol</b> delivered increased to 0.642%, a 3.669 fold increase in delivery. When AA-2G and cetearyl glucoside were placed into formulation with <b>retinol</b> (Formulation C), the <b>retinol</b> permeation surprisingly increased to 0.241%, a 1.38-fold increase over the control formulation A. Even more surprisingly, a formulation containing both hydrophobically modified acrylic acid and AA-2G (Formulation D), although an additive effect was expected, a total delivery of <b>retinol</b> of 1.26% or a 7.2 fold increase in <b>retinol</b> delivery to the epidermis.			
DETD	. . . . a polyoxyethylene alcohol increased the penetration from 0.18% to 1.016%, or a 5.65-fold increase of delivery of AA-2G. Surprisingly, the <b>retinol</b> permeation decreased from 1.25% to 0.464%, a 0.36-fold decrease. Thus, the compositions of this invention afford a			

method of regulating. . . .

DETD . . . . A standard test for skin irritation, called the "Modified Irritation Study" (MIS) was used to evaluate the delivery system using **retinol** as the topical agent. This test measures the irritation potential of compositions in human volunteers. Test formulations of this invention. . . .

DETD . . . . Normalized Irritation Score. The results of these tests are set forth in Table 2 below.

TABLE 2

		Ratio of total retinol		Total
amount	of	delivered:Normal-		
		Retinol		
Composition	retinol delivered	Normalized Ingredients	ized Irritation Concentration	(.mu.g)
	Irritation Score	Score		
A	Convention emulsifier	Cetearyl Glucoside	0.04%	0.21
	9.88	2.1		
	(Control)			
B.				
DETD	[0050] An increase in <b>retinol</b> penetration would generally be expected to result in higher skin irritancy, or a lower ratio of Total <b>Retinol</b> Delivered:Normalized Irritation Score. Ratios of the amount of <b>retinol</b> delivered to the irritation score were calculated to compare the formulations, i.e., they represent the amount of <b>retinol</b> delivered per each unit of irritation. As can be seen from the data set forth in Table 2, Formulations A, . . . .			
DETD	[0051] However, surprisingly, Formulation D evidences a dramatic increase in <b>retinol</b> delivery per unit of irritation and, therefore, is considerably less irritating than Formulations A, B and			
C.				
	We would also. . . . Formulation D would be greater than that of Formulations A, B or C in light of the increased amount of <b>retinol</b> delivered at a lower extent of irritation. We conclude that the irritation mitigation effect is unexpectedly greater in compositions containing. . . .			
DETD	. . . . About 0.1 to about 2			
Carbomer	Thickener		About 0.1 to about 1%	About
	0.1 to about 1%	About 0.1 to about 1%		
Pemulen	Hydrophobically		About 0.1 to about	
1%	About 0.25%	About 0.25%		
	modified polymer			
	emulsifier			
Ascorbic Acid 2-	Sugar		0%	About
	0.1% to. . . .			
CLM	What is claimed is:			
	9. A composition according to claim 8 wherein said hydrophobically modified <b>acrylate</b> is <b>acrylates/C10-30 alkyl acrylate</b> cross-polymer.			
	14. A composition according to claim 13 wherein said sugar is selected from the group consisting of: <b>ascorbic acid-2-</b>			

glucoside, oligosaccharides such as lactose and melibiose and combinations thereof.

15. A composition according to claim 14 wherein said sugar is **ascorbic acid-2-glucoside**.

17. A composition according to claim 16 wherein said active agent is **ascorbic acid-2-glucoside**.

20. A composition according to claim 19 wherein said hydrophobically modified **acrylate** is **acrylates/C10-30 alkyl acrylate** cross-polymer.

. . . skin in a composition containing a retinoid comprising applying to said skin a sugar selected from the group consisting of: **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and combinations thereof.

25. A method according to claim 24 wherein said sugar is **ascorbic acid-2-glucoside**.

IT 50-81-7, Vitamin C, biological studies 58-85-5, Biotin 59-43-8, Thiamine, biological studies 59-67-6, Nicotinic acid, biological studies 62-49-7, Choline 65-23-6, Pyridoxine 68-19-9, Vitamin B12 68-26-8, Retinol 79-10-7D, Acrylic acid, derivs., copolymers with alkyl acrylate 79-83-4, Pantothenic acid 83-88-5, Riboflavin, biological studies 87-89-8, Inositol 541-15-1, Carnitine; 1406-16-2, Vitamin D 1406-18-4, Vitamin E 8059-24-3, Vitamin B6 11103-57-4, Vitamin A 12001-76-2, Vitamin B complex 12001-79-5, Vitamin K  
(skin preps. contg. polymeric emulsifiers to enhance permeation of actives)

L12 ANSWER 13 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:12042 USPATFULL

TITLE: COMPOSITION TO ENHANCE PERMEATION OF TOPICAL SKIN AGENTS

INVENTOR(S): KUNG, JOHN, SOMERSET, NJ, UNITED STATES  
LIU, JUE-CHEN, BELLE MEAD, NJ, UNITED STATES  
NIEMIEC, SUSAN, YARDLEY, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002006418	A1	20020117
APPLICATION INFO.:	US 1999-361426	A1	19990727 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104060P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AUDLEY A CIAMPORCERO JR, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 089337003	
NUMBER OF CLAIMS:	26	
EXEMPLARY CLAIM:	1	
LINE COUNT:	720	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 30 carbon atoms is used in the products and compositions of this invention. Most preferably, the polymeric emulsifier should be **Pemulen\***, an **acrylate/C10-30 alkyl**

**acrylate** crosspolymer commercially available from B.F. Goodrich Specialty Chemicals of Cleveland, Ohio. Surprisingly, delivery systems containing lipophilic topical active ingredients formulated in the compositions of this invention in conjunction with **Pemulen\*** provided enhanced penetration of the lipophilic topical active ingredient. Preferably, the polymeric emulsifier should be present in the compositions of. . .

SUMM . . . be hydrophilic topically active agents themselves. Sugars that may be useful in the compositions of this invention include, for example, **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and the like. Preferably, the sugar should

be present in the compositions of this. . .

SUMM . . . concentrations of topical actives could be delivered, depending

upon the type of benefit desired. For example, a retinoid such as **retinol** may be utilized in a composition to combat wrinkles and prevent photodamage while **ascorbic acid-2-glucoside** may be utilized for the purpose of promoting even skin tone or preventing sun-induced erythema. Therefore, under some circumstances, the **retinol** benefit may be up-regulated in order to provide treatment of wrinkles while the penetration into the skin of another undesirable. . .

SUMM . . . this invention assist in enhancing skin penetration of hydrophobic, also known as lipophilic, compounds. More particularly, hydrophobic vitamins such as **retinol** and tocopherol and the like may be incorporated into the compositions of this invention as active agents. To maximize the. . .

DETD . . . 1.00%

Dimethicone	1.00%
Cetyl alcohol	2.50%
Cetearyl glucoside	1.40%
Tocophexyl acetate	0.55%
and Tocopherol	
Sunscreen	4.00%
Preservative	1.25%
Stabilizers	1.10%
<b>Retinol</b>	0.04%

DETD . . . Octyl hydroxy stearate 1.00%

Dimethicone	1.00%
Di-alpha tocopheryl acetate	0.50%
Octyl methoxy-cinnamate	4.00%
Propyl paraben	0.17%
Na hydroxide (10%)	2.60%
<b>Retinol 50c</b>	0.20%
Tocopherol	0.05%
Thea Sinesis Extract	1.00%

DETD . . . 4.00%

Octyl hydroxy stearate	1.00%
Dimethicone	1.00%
Di-alphatocopheryl acetate	0.50%
Octyl methoxycinnamate	4.00%
Propyl paraben	0.17%
Na hydroxide (10%)	2.45%
<b>Retinol 50c</b>	0.20%
Polyacrylamide & laureth 7 & C13-C14 isoparaffin	0.70%
Tocopherol	0.05%
Thea Sinesis Extract	1.00%



DETD . . . Cross-Polymer

Dimethicone	1.00%
Cetyl Alcohol	2.00%
Di-alpha tocopheryl acetate	0.50%
Octyl methoxycinnamate	4.00%
Propyl paraben	0.17%
Na hydroxide (18%)	1.50%
Retinol 50c	0.18%
Ascorbic Acid-2G	6.35%
Tocopherol	0.05%
Thea Sinesis	1.00%
Extract	

DETD . . . 4.00%

Octyl hydroxy stearate	1.00%
Dimethicone	1.00%
Di-alpha tocopheryl acetate	0.50%
Octyl methoxycinnamate	4.00%
Preservative	0.17%
Na hydroxide (10%)	5.05%
Retinol 50c	0.20%
Tocopherol	0.05%
Thea Sinesis Extract	1.00%

DETD . . . Nut Oil

Pentaerythritol Tetraoctanoate	7.000
Butylene Glycol	5.000
Petrolatum	4.000
Quaternium 18 Hectorite	3.000
Polyglyceryl-2-Diisostearate	2.700
PEG 150	2.000
Retinol	1.000
Trisodium EDTA	0.166
Ascorbic Acid	0.100
Sodium Citrate	0.100
Tocopheryl Acetate	0.100
Preservative	0.100
Preservative	0.100
Butylated Hydroxytoluene (BHT)	

DETD . . . purged from the water, glycerin, panthenol, disodium EDTA, a first preservative and ascorbic acid were added to the beaker. The acrylates/C10-30 alkyl acrylate and carbomer were then added to the water phase. The beaker was then transferred to

a vacuum close kettle homogenizer. . . with NaOH (10%) and the temperature held at 70-75.degree. C. for phasing. The remainder of the ingredients but for the Retinol, Tocopherol and Thea Sinesis Extract were combined in a separate beaker and heated to 70-75.degree. C. When both phases were. . . the oil phase was added to the water phase under vacuum and homogenized together. The beaker was then cooled slowly. Retinol was added when the temperature reached 55.degree. C. and Tocopherol and Thea Sinesis extract added at 45.degree. C. Formulation C. . .

DETD . . . water phase was added to oil phase slowly and the heated was stopped. At 50.degree. C., Vitamin E acetate and retinol were added. The whole process should be under argon and yellow light conditions.

DETD . . . active ingredient was calculated based upon a percentage of applied dose. For these studies, the penetration of a lipophilic agent

(

**retinol** and a hydrophilic agent (**ascorbic acid 2-glucoside**, or "AA2G") were investigated.

TABLE 1

The formulations investigated are set forth in Table 1 below:

	Compo- sition	Ingred- ients	% of ap- plied dose of <b>retinol</b> delivered into epidermis	En- hance- ment factor of <b>retinol</b> delivery	% of ap- plied dose of AA-2G delivered into epidermis	Enhance- ment factor of AA-2G delivery
A	Conven- tional emulsifier (Control)	Cetearyl Glucoside	0.175%	1.00	N/A	N/A
B	Hydropho-	Acrylates/. . .				
DETD	. . . be seen that a control formulation (Formulation A) containing only cetearyl glucoside delivered only 0.175% of the applied dose of <b>retinol</b> into the epidermis. Surprisingly, however, when a formulation containing hydrophobically modified acrylic acid emulsifier was used (Formulation B), the percentage of <b>retinol</b> delivered increased to 0.642%, a 3.669 fold increase in delivery. When AA-2G and cetearyl glucoside were placed into formulation with <b>retinol</b> (Formulation C), the <b>retinol</b> permeation surprisingly increased to 0.241%, a 1.38-fold increase over the control formulation A. Even more surprisingly, a formulation containing both hydrophobically modified acrylic acid and AA-2G (Formulation D), although an additive effect was expected, a total delivery of <b>retinol</b> of 1.26% or a 7.2 fold increase in <b>retinol</b> delivery to the epidermis.					
DETD	. . . a polyoxyethylene alcohol increased the penetration from 0.18% to 1.016%, or a 5.65-fold increase of delivery of AA-2G. Surprisingly, the <b>retinol</b> permeation decreased from 1.25% to 0.464%, a 0.36-fold decrease. Thus, the compositions of this invention afford a method of regulating. . .					
DETD	. . . A standard test for skin irritation, called the "Modified Irritation Study" (MIS) was used to evaluate the delivery system using <b>retinol</b> as the topical agent. This test measures the irritation potential of compositions in human volunteers. Test formulations of this invention. . .					
DETD	. . . Score. The results of these tests are set forth in Table 2 below.					

TABLE 2

	Normal- Compo- sition	Ingred- ients	Concen- tration	Total amount delivered (.mu.g)	Normal- Irritation Score	Ratio of total <b>retinol</b> delivered: Irrita- tion Score
A	Conven-	Cetearyl	0.04%	0.21. . .		
DETD	[0052] An increase in <b>retinol</b> penetration would generally be					

expected to result in higher skin irritancy, or a lower ratio of Total Retinol Delivered: Normalized Irritation Score. Ratios of the amount of retinol delivered to the irritation score were calculated to compare the formulations, i.e., they represent the amount of retinol delivered per each unit of irritation. As can be seen from the data set forth in Table 2, Formulations A, . . . [0053] However, surprisingly, Formulation D evidences a dramatic increase in retinol delivery per unit of irritation and, therefore, is considerably less irritating than Formulations A, B and

C. We would also. . . Formulation D would be greater than that of Formulations A, B or C in light of the increased amount of retinol delivered at a lower extent of irritation. We conclude that the irritation mitigation effect is unexpectedly greater in compositions containing. . .

DETD	. . .	about 2	to about 2		
Carbomer	Thickener	About 0.1	About 0.1	About 0.1	
		to about 1%	to about 1%	to about 1%	
Pemulen	Hydrophobically modified polymer emulsifier	About 0.1	About 0.25%	About 0.25%	
		to about 1%			
Ascorbic Acid.	Sugar	0%	About 0.1%	About 0.1%	

CLM What is claimed is:

9. A composition according to claim 8 wherein said hydrophobically modified **acrylate** is **acrylates/C10-30 alkyl acrylate** cross-polymer.

14. A composition according to claim 13 wherein said sugar is selected from the group consisting of: **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and combinations thereof.

15. A composition according to claim 14 wherein said sugar is **ascorbic acid-2-glucoside**.

17. A composition according to claim 16 wherein said active agent is **ascorbic acid-2-glucoside**.

20. A composition according to claim 19 wherein said hydrophobically modified **acrylate** is **acrylates/C10-30 alkyl acrylate** cross-polymer.

. . . skin in a composition containing a retinoid comprising applying to said skin a sugar selected from the group consisting of: **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and combinations thereof.

25. A method according to claim 24 wherein said sugar is **ascorbic acid-2-glucoside**.

IT 50-81-7, Vitamin C, biological studies 58-85-5, Biotin 59-43-8, Thiamine, biological studies 59-67-6, Nicotinic acid, biological studies 62-49-7, Choline 65-23-6, Pyridoxine 68-19-9, Vitamin B12 68-26-8, Retinol 79-10-7D, Acrylic acid, derivs., copolymers with alkyl acrylate 79-83-4, Pantothenic acid 83-88-5, Riboflavin, biological studies 87-89-8, Inositol 541-15-1, Carnitine; 1406-16-2, Vitamin D 1406-18-4, Vitamin E 8059-24-3, Vitamin B6

11103-57-4, Vitamin A    12001-76-2, Vitamin B complex    12001-79-5,  
Vitamin K  
(skin preps. contg. polymeric emulsifiers to enhance permeation of  
actives)

L12 ANSWER 14 OF 24    USPATFULL on STN

ACCESSION NUMBER:        2002:224254    USPATFULL  
TITLE:                    Sunscreen compositions containing a dibenzoylmethane  
                             derivative  
INVENTOR(S):             Cole, Curtis, Ringoes, NJ, United States  
                             Natter, Florence, Hillsborough, NJ, United States  
PATENT ASSIGNEE(S):     Johnson & Johnson Consumer Companies, Inc., Skillman,  
                             NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6444195	B1	20020903
APPLICATION INFO.:	US 2001-883416		20010618    (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Dodson, Shelley A.		
LEGAL REPRESENTATIVE:	Harriman, Erin M.		
NUMBER OF CLAIMS:	21		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	485		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD    . . . such a miconazole, ketoconazole, and elubiol; vitamins such as  
ascorbic acid; tocopherols and tocotrienols such as tocopheryl acetate;  
retinoids such **retinol**, retinal, retinyl palmitate, retinyl  
acetate, and retinoic acid; hormones such as estrogens and  
dihydroxyandrostene dione; 2-dimethylaminoethanol; lipoic acid; amino  
acids. . .

DETD    . . . of derivatives of ascorbic acid include, but are not limited  
to, ascorbyl palmitate, magnesium ascorbyl phosphate, sodium ascorbyl  
phosphate, zinc **ascorbyl** phosphate, **ascorbyl**  
**glucoside**, sodium ascorbate, and ascorbyl polypeptide. An  
example of a derivative of hydroquinone includes, but is not limited  
to,  
arbutin.

DETD  
TABLE I

INGREDIENT % (W/W)

WATER q.s. 100

**ACRYLATES** C10-30 **ALKYL ACRYLATE** COPOLYMER 0.2

TRIETHANOLAMINE 0.65

DISODIUM EDTA 0.1

HOMOSALATE 12

BUTYL METHOXYDIBENZOYLMETHANE 3.0

OCTYL SALICYLATE 5

CETYL PHOSPHATE 0.5

SORBITAN ISOSTEARATE 1.5

CETYL ALCOHOL 1.5

STEARIC ACID 1.5

ISOSTEARIC ACID 1.5

PRESERVATIVE. . .

DETD    The **acrylates** C10-30 **alkyl acrylate**  
copolymer was first dispersed in the water in a first container and then

neutralized with triethanolamine. The dispersion was then. . .

L12 ANSWER 15 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:209577 USPATFULL  
TITLE: Agent for preventing and treating skin diseases  
INVENTOR(S): Ito, Shinobu, Tokyo, JAPAN  
Ogata, Eiji, Tokyo, JAPAN  
Ikeno, Hiroshi, Tokyo, JAPAN  
PATENT ASSIGNEE(S): Showa Denko K.K., Tokyo, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6437002	B1	20020820
APPLICATION INFO.:	US 1999-418317		19991014 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1999-JP2516, filed on 14 May 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-133479	19980515
	JP 1998-133480	19980515
	JP 1998-133481	19980515
	JP 1998-182353	19980629
	JP 1998-182354	19980629
	JP 1998-182355	19980629
	US 1998-104157P	19981014 (60)
	US 1998-104159P	19981014 (60)
	US 1998-104160P	19981014 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Fay, Zohreh  
LEGAL REPRESENTATIVE: Sughrue Mion, PLLC  
NUMBER OF CLAIMS: 46  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 1340

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . acid-2-glycoside employable in the present invention is preferably a glycoside in which glucose is present at the 2-position, such as L-**ascorbic acid-2-glucoside** (2-O-.alpha.-D-glucopyranosil-L-ascorbic acid). Examples thereof include

L-**ascorbic acid-2-glucoside** and salts thereof, 5,6-O-alkylidene-L-**ascorbic acid-2-glucoside**, and 5,6-O-benzylidene-L-**ascorbic acid-2-glucoside** and salts thereof.

SUMM Specific examples thereof include 6-butyloxy-L-**ascorbic acid-2-glucoside**, 6-palmitoyloxy-L-**ascorbic acid-2-glucoside**, 6-stearoyloxy-L-**ascorbic acid-2-glucoside**, 6-oreoyloxy-L-**ascorbic acid-2-glucoside**, 6-myristoyloxy-L-**ascorbic acid-2-glucoside**, 6-dodecanoyloxy-L-**ascorbic acid-2-glucoside**, 6-tetradecanoyloxy-L-**ascorbic acid-2-glucoside**, 6-(cis-9-octadecenoyloxy)-L-**ascorbic acid-2-glucoside**, 6-linoloyloxy-L-**ascorbic acid-2-glucoside**, 6-linolenoyloxy-L-**ascorbic acid-2-glucoside**, 6-arachidonoyloxy-L-**ascorbic acid-2-glucoside**, 5,6-O-benzylidene-L-**ascorbic acid-2-glucoside**, and salts thereof.

SUMM . . . those exerting an excellent effect are a sodium salt, a

potassium salt and a zinc salt of L-ascorbic acid-2-monophosphate, and L-ascorbic acid-2-glucoside. In particular, the sodium salt of L-ascorbic acid-2-monophosphate is preferable. The magnesium salt and the calcium salt of L-ascorbic acid-2-monophosphate.

SUMM . . . distilled tar, defatted soybean dry distillated tar  
diphenhydramine, tannic acid, dexamethasone, dexamethasone defatted  
soybean dry distilled tar, capsicum tincture, tocopherol vitamin  
A oil, triamcinolone acetonide, halcinonide, vitamin  
A, hydrocortisone crotamiton, FUFUMETHASONE pivalate,  
PYRIDORETIN, pyroxicam, phenol zinc white liniment, felbinac,  
PTESONIDO,  
bufexamac, momethasone furancarboxylic acid, fluocinonide, fluocinolone  
acetonide, fludroxycortide, . . .

DETD

(Ingredients Blended) (Amount Blended)

1. Sodium sulfate 45.5
2. Sodium hydrogencarbonate balance
3. L-Ascorbic acid-2-glucoside 10.0
4. Maackia extract 5.0
5. Mentol 0.3
6. Perfume, Dye 0.25

DETD

TABLE 3

Test Compound Test (A) Test (B)

Ascorbic acid tocopherolphosphate diester - +  
Sodium L-ascorbic acid-2-sulfate - +  
L-Ascorbic acid-2-glucoside + +  
Sodium L-ascorbic acid-2-phosphate + +  
Potassium L-ascorbic acid-2-phosphate + +  
Magnesium L-ascorbic acid-2-phosphate .+-. +  
Sodium 6-stearoyloxy-L-ascorbic acid-2-phosphate + +  
Sodium 6-palmitoyloxy-L-ascorbic acid-2-phosphate. . .  
IT 50-81-7D, Ascorbic acid, derivs. 50-81-7D, L-Ascorbic acid, reaction  
products with tocopherols, phosphates, biological studies 23313-12-4,  
Ascorbic acid 2-phosphate 23666-04-8 105256-49-3 105256-51-7  
110518-45-1 128808-22-0, L-Ascorbic acid sulfate sodium salt  
129499-78-1, L-Ascorbic acid 2-glucoside 143567-34-4  
215363-36-3

(ascorbic acid derivs. as preventives/remedies for skin diseases)

L12 ANSWER 16 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:201667 USPATFULL

TITLE: Cosmetic compositions containing creatine, carnitine,  
and/or pyruvic acid

INVENTOR(S): Shapiro, Stanley S., Livingston, NJ, United States  
Martin, Katharine M., Ringoes, NJ, United States  
Shaya, Steven A., Highlands, NJ, United States  
Kaminski, Claudia K., Milford, NJ, United States

PATENT ASSIGNEE(S): Johnson & Johnson Consumer Companies, Inc., Skillman,  
NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6432424	B1	20020813
APPLICATION INFO.:	US 2000-606491		20000629 (9)

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Moezie, Minna  
ASSISTANT EXAMINER: Berman, Alysia  
LEGAL REPRESENTATIVE: McGowen, William E.  
NUMBER OF CLAIMS: 24  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 691

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Examples of such vitamins include, but are not limited to,  
vitamin A, a vitamin B (e.g., vitamin B1, vitamin B2,  
vitamin B6, or vitamin B12), vitamin C, and a vitamin E (e.g., . . .  
SUMM . . . of derivatives of ascorbic acid include, but are not limited  
to, ascorbyl palmitate, magnesium ascorbyl phosphate, sodium ascorbyl  
phosphate, zinc ascorbyl phosphate, ascorbyl  
glucoside, sodium ascorbate, and ascorbyl polypeptide. An  
example of a derivative of hydroquinone includes, but is not limited  
to,  
arbutin.

DETD . . . Weight

Water Phase Ingredients

Mineral Water q.s. 100

Disodium EDTA 0.1

Glycerin 3

Butylene glycol 3

Carbomer 0.25

Acrylate-C10-30 alkyl acrylate crosspolymer 0.07

Glyceryl polymethacrylate 67%/water 32%/ 5

propylene glycol 1%

Propyl paraben 0.201

Methyl paraben 0.35

Phenoxyethanol 0.584

Oil Phase. . .

DETD

Trimethylated Silica 50%/Decamethyl 1-10

Cyclopentasiloxane 50%

Macadamia Nut Oil 0.1-10

Avocado Oil 0.1-10

Tocopheryl Acetate 0.01-1

Vitamin A Palmitate 0.01-0.1

Evening Primrose Oil 0.01-0.1

Cyclomethicone and Dimethicone 1-10

Crosspolymer

Water Phase Ingredients

Mineral Water q.s. . . .

CLM What is claimed is:

2. A composition of claim 1, wherein said nutrient is selected from the  
group consisting of vitamin A, vitamin C, vitamin E,  
an essential amino acid and a cosmetically acceptable salt or ester  
thereof.

6. A composition of claim 5, wherein said nutrient is selected from the  
group consisting of vitamin A, vitamin E, an  
essential amino acid, and a cosmetically acceptable salt or ester  
thereof.

ACCESSION NUMBER: 2002:115771 USPATFULL  
TITLE: Microcapsule and method of making the same  
INVENTOR(S): Miyazawa, Kazuyuki, Yokohama, JAPAN  
Kaneda, Isamu, Yokohama, JAPAN  
Yanaki, Toshio, Yokohama, JAPAN  
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Tokyo, JAPAN (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6391288	B1	20020521
APPLICATION INFO.:	US 2000-625504		20000726 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-212373	19990727
	JP 2000-89742	20000328
	JP 2000-89743	20000328
	JP 2000-89744	20000328
	JP 2000-89745	20000328

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Dees, Jose' G.  
ASSISTANT EXAMINER: Lamm, Marina  
LEGAL REPRESENTATIVE: Chao, Fei-Fei, Venable  
NUMBER OF CLAIMS: 32  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)  
LINE COUNT: 1956

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . contained in encapsulated oil droplets, the stability of the drug can be improved. Examples thereof include easy-to-oxidize drugs such as **retinol** and vitamin E; and easy-to-crystallize drugs such as cyclosporin, vitamin C palmitate, and 4-tert-butyl-4'-methoxybenzoyl methane.

DETD

Inner oil phase:

- (1) **Vitamin A** palmitate 5 wt %
- (2) Cetyl isooctanoate 5

Water phase:

- (3) POE(60) hardened castor oil 0.5
- (4) Glycerin 10
- (5) Agar(PS-84) . . .

DETD

Inner oil phase:

- (1) **Vitamin A** palmitate 5 wt %
- (2) Squalane 9.5

Water phase:

- (3) POE(60) hardened castor oil 0.5
- (4) 1,3-Butyleneglycol 10
- (5) Carrageenan 1. . .

DETD

Inner oil phase:

- Retinol** 5 wt %
  - Diethyl sebacate 15
- Water phase:  
1,3-Butylene glycol 10



POE(60) hardened castor oil 1  
Agar(S-5) 1.5

**Ascorbic acid 2-glucoside** 5

Ion-exchanged water 12.5

Outer oil phase:

POE methylpolysiloxane copolymer 1

Octamethylcyclotetrasiloxane 49

DETD A solid lipstick was prepared by a normal method. In normal lipsticks, easy-to-oxidize drugs such as **retinol** have been hard to be compounded due to their formulations, and water-soluble humectants such as ascorbic acid derivatives and the. . .

DETD . . . none exist

\*It was prepared according to the microcapsule of Compounding Example I-2 with vitamin E acetate in the place of **retinol**.

DETD . . . 1

(7) Agar(AX-100) 1

(8) Gellan gum 0.3

(9) Citric acid 0.1

(19) Sodium citrate 0.1

(11) **Ascorbic acid 2-glucoside** 2.5

(12) Ion-exchanged water 24.0

(13) Antioxidant Q.S.

Outer oil phase:

(14) POE methylpolysiloxane copolymer 1

(15) Octadecylcyclotetrasiloxane 49

DETD

Inner oil phase:

(1) **Vitamin A** palmitate 5 wt %

(2) Cetyl isooctanoate 5

Water phase:

(3) POE(60) hardened castor oil 0.5

(4) Glycerin 10

(5) Agar(PS-84). . .

DETD

Inner oil phase:

(1) **Vitamin A** palmitate 5 wt %

(2) Squalane 9.5

Water phase:

(3) POE(60) hardened castor oil 0.5

(4) 1,3-Butyleneglycol 10

(5) Carrageenan 2. . .

DETD

Inner oil phase:

**Retinol** 5 wt %

Dioctyl sebacate 15

Water phase:

1,3-Butylene glycol 10

POE(60) hardened castor oil 1

Agar(M-7) 1.5

**Ascorbic acid 2-glucoside** 5

Ion-exchanged water 12.5

Outer oil phase:

POE methylpolysiloxane copolymer 1

Octamethylcyclotetrasiloxane 49

DETD In normal lipsticks, easy-to-oxidize drugs such as **retinol** have been hard to be compounded due to their formulations, and also

water-soluble humectants such as ascorbic acid derivatives and. . .  
DETD . . . none exist

\*It was prepared according to the microcapsule of Compounding Example II-2  
with

vitamin E acetate in the place of **retinol**.

DETD . . . 1  
(7) Agar(T-1) 1  
(8) Gellan gum 0.3  
(9) Citric acid Q.S.  
(10) Sodium chloride 0.1  
(11) **Ascorbic acid 2-glucoside** 2.5  
(12) Ion-exchanged water Balance  
(13) Antioxidant Q.S.  
Outer oil phase:  
(14) POE methylpolysiloxane copolymer 1  
(15) Octadecylcyclotetrasiloxane 49  
DETD

Inner oil phase:

(1) **Vitamin A** palmitate 5 wt %

(2) Cetyl isooctanoate 5

Water phase:

(3) POE(60) hardened castor oil 0.5

(4) Glycerin 10

(5) Agar(PS-84). . .

DETD

Inner oil phase:

(1) **Vitamin A** palmitate 5 wt %

(2) Squalane 9.5

Water phase:

(3) POE(60) hardened castor oil 0.5

(4) 1,3-Butyleneglycol 10

(5) Carrageenan 5. . .

DETD . . . %

Dioctyl sebacate 15

Water phase:

1,3-Butylene glycol 10

POE(60) hardened castor oil 1

Agar(M-7) 3

**Ascorbic acid 2-glucoside** 5

Ion-exchanged water 11

Outer oil phase:

POE methylpolysiloxane copolymer 1

Octamethylcyclotetrasiloxane 49

DETD . . . phase:

Squalane 10 wt %

Water phase:

1,3-Butylene glycol 5

POE(60) hardened castor oil 1

Agar(PS-84) 1

**Ascorbic acid 2-glucoside** 2

Ion-exchanged water 31

Outer oil phase:

POE methylpolysiloxane copolymer 1

Octamethylcyclotetrasiloxane 49

DETD The inner oil phase was gradually added to a mixture of 1,3-butylene glycol, POE (60) hydrogenated castor oil and **ascorbic acid 2-glucoside** to obtain an oil-in-water-soluble-solvent type

emulsion. Agar was dissolved in ion-exchanged water with heating at 90.degree. C. to prepare an. . . .

DETD . . . for 24 hours at 25.degree. C. after filtration, and the non-coated microcapsule immediately after filtration were tested. After 1 month, **ascorbic acid 2-glucoside** (A2G) in water was quantitatively determined by HPLC. The eluting ratio of A2G was calculated while the case where A2G. . . .

DETD . . . suppress the contraction of microcapsules in air, and improve the dispersibility in lipophilic medium. Also, the elution of encapsulated component (**ascorbic acid 2-glucoside**) from the microcapsule in water is suppressed.

IT 56-81-5, Glycerin, biological studies 57-11-4, Stearic acid, biological studies 79-81-2, Vitamin A palmitate 107-88-0, 1,3-Butylene glycol 110-27-0, Isopropyl myristate 111-01-3, Squalane 122-62-3, Dioctyl sebacate 127-82-2, Zinc p-phenolsulfonate 541-02-6, Decamethylcyclotetrasiloxane 556-67-2, Octamethylcyclotetrasiloxane 1314-13-2, Zinc oxide, biological studies 1327-41-9, Aluminum chlorohydrate 1338-43-8, Sorbitan monooleate 3380-34-5, Triclosan 7631-86-9, Silica, biological studies 9000-07-1, Carrageenan 9002-18-0, Agar 9016-00-6, Dimethylpolysiloxane 14807-96-6D, Talcum, siliconized 25322-68-3D, Polyethylene oxide, copolymer with Me polysiloxane 31450-14-3, Ethyl .gamma.-linolenate 56451-84-4, Sorbitan stearate 64427-25-4, Benton 70356-09-1 71010-52-1, Gellan gum 72585-97-8, Cetyl isooctanoate **129499-78-1**, L-Ascorbic acid 2-glucoside (method and hydrophilic polymer gelling agent for prepn. of oil-contg. microcapsules)

IT **68-26-8**, Retinol (method and hydrophilic polymer gelling agent for prepn. of oil-contg. microcapsules)

L12 ANSWER 18 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:81526 USPATFULL  
 TITLE: Method of promoting skin cell metabolism  
 INVENTOR(S): Shapiro, Stanley S., Livingston, NJ, United States  
 Martin, Katharine M., Ringoes, NJ, United States  
 PATENT ASSIGNEE(S): Johnson & Johnson Consumer Companies, Inc., Skillman, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6372791	B1	20020416
APPLICATION INFO.:	US 2000-606556		20000629 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Dees, Jose ' G.		
ASSISTANT EXAMINER:	George, Konata		
LEGAL REPRESENTATIVE:	McGowan, William E.		
NUMBER OF CLAIMS:	28		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	629		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD Examples of such vitamins include, but are not limited to, **vitamin A**, a vitamin B (e.g., vitamin B1, vitamin B2, vitamin B6, or vitamin B12), vitamin C, and a vitamin E (e.g., . . . .

DETD . . . of derivatives of ascorbic acid include, but are not limited to, ascorbyl palmitate, magnesium ascorbyl phosphate, sodium ascorbyl phosphate, zinc **ascorbyl** phosphate, **ascorbyl**

glucoside, sodium ascorbate, and ascorbyl polypeptide. An example of a derivative of hydroquinone includes, but is not limited to, arbutin.

DETD . . . Weight

Water Phase Ingredients

Mineral Water q.s. 100

Disodium EDTA 0.1

Glycerin 3

Butylene glycol 3

Carbomer 0.25

Acrylate-C10-30 alkyl acrylate crosspolymer 0.07

Glyceryl polymethacrylate 67%/water 32%/ 5

propylene glycol 1%

Propyl paraben 0.201

Methyl paraben 0.35

Phenoxyethanol 0.584

Oil Phase. . .

L12 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:441232 CAPLUS

DOCUMENT NUMBER: 135:50879

TITLE: Skin-lightening agents containing garden balsam extracts or bisnaphthoquinone compound thereof and cosmetics containing them

INVENTOR(S): Kato, Toyoya; Nari, Eiji; Uehara, Shizuka; Sakata, Osamu

PATENT ASSIGNEE(S): Kosei Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001163759	A2	20010619	JP 1999-351130	19991210
PRIORITY APPLN. INFO.:			JP 1999-351130	19991210
IT 58-56-0, Pyridoxine hydrochloride			58-95-7, Tocopherol acetate	
69-65-8,				
Mannitol 70-18-8, Glutathione, biological studies			79-81-2,	
Retinol palmitate 131-57-7, 2-Hydroxy-4-methoxybenzophenone				
472-61-7 5466-77-3, 2-Ethylhexyl 4-methoxycinnamate			7235-40-7,	
.beta.-Carotene 10191-41-0, dl-.alpha.-Tocopherol			13832-70-7, Stearyl	
glycyrrhetinate 23327-65-3, Dipotassium glycyrrhetinate			52225-20-4,	
dl-.alpha.-Tocopherol acetate 53910-28-4, L-Ascorbic acid sulfate				
disodium salt 70356-09-1, 4-tert-Butyl-4'-methoxydibenzoylmethane				
108910-78-7, L-Ascorbic acid phosphate magnesium salt			129499-78-1	
288573-51-3				
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES				
(Uses)				
(skin-lightening cosmetics contg. garden balsam exts. or				
bisnaphthoquinone compd. contained therein)				

L12 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:252927 CAPLUS

DOCUMENT NUMBER: 134:285477

TITLE: Radial-scavenging topical compositions

INVENTOR(S): Ikeno, Hiroshi  
 PATENT ASSIGNEE(S): Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001097888	A2	20010410	JP 1999-312832	19990928
PRIORITY APPLN. INFO.:			JP 1999-312832	19990928

AB The invention relates to a radical-scavenging topical compns. for treatment of radical-caused disease, e.g. common acne, etc., wherein the compn. contains .gtoreq. 2 active ingredients and the content of the 1st ingredient is higher than any other ingredients in the compn. except water, and wherein the compn. has a pH of .gtoreq. 7.1. A skin lotion contg. L-ascorbic acid-2-phosphate magnesium salt 2, **ascorbic acid glucoside** 2, dl-tocopherol 1, silica-coated titanium oxide 0.5, silica-coated zinc oxide 0.5, polysodiumasparatate 1, sodium hyaluronate 0.1, allantoin 0.5, sodium citrate 2, dipotassium glycyrrhizinate 0.3, ethanol 5, silica 0.01, water-sol. collagen, and other ingredients and water q.s. to 100 % was prepd.

IT 50-81-7D, L-Ascorbic acid, polyglucoside derivs., biological studies  
 52-90-4, Cystein, biological studies 58-95-7, .alpha.-Tocopherol acetate  
 59-02-9, .alpha.-Tocopherol 59-02-9D, .alpha.-Tocopherol, urate derivs.  
**68-26-8, Retinol** 70-18-8, Glutathione, biological studies 127-40-2, Lutein 302-79-4, Retinoic acid 432-70-2, .alpha.-Carotene 472-61-7, Astaxanthin 472-70-8, Cryptoxanthin 490-46-0, Epicatechin 497-76-7, Arbutin 501-30-4, Kojic acid 502-65-8, Lycopene 989-51-5, Epigallocatechin gallate 4218-81-9, L-Ascorbic acid-2,6-dipalmitate 4345-03-3, .alpha.-Tocopherol succinate 7235-40-7, .beta.-Carotene 7631-86-9, Silica, biological studies 9001-05-2, Catalase 9054-89-1, Superoxide dismutase 10605-09-1, L-Ascorbic acid-6-stearate 64296-33-9, L-Ascorbic acid palmitate 68797-35-3, Dipotassium glycyrrhizinate 71276-50-1, .alpha.-Tocopherol phosphate 84413-06-9, L-Ascorbic acid, 2-(dihydrogen phosphate), potassium salt 104832-72-6, .alpha.-Tocopherol glucoside 109620-90-8, L-Ascorbic acid-2-phosphate sodium salt 125913-31-7D, L-Ascorbic acid phosphate, reaction product with .alpha.-tocopherol **129499-78-1**, **L-Ascorbic acid-2-glucoside** 161436-56-2  
 194287-47-3 244158-48-3, L-Ascorbic acid 2-**glucoside** 6-stearate 287925-69-3, L-Ascorbic acid 2-**glucoside** 6-palmitate  
 RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (radial-scavenging topical compns. contg.)

L12 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:279402 CAPLUS  
 DOCUMENT NUMBER: 134:300637  
 TITLE: Use of DHEA or its precursors and metabolites as skin depigmentation agents  
 INVENTOR(S): De, Lacharriere Oliver; Nouveau, Stephanie  
 PATENT ASSIGNEE(S): L'oreal, Fr.  
 SOURCE: Eur. Pat. Appl., 10 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent

LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1092423	A2	20010418	EP 2000-118605	20000828
EP 1092423	A3	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2799645	A1	20010420	FR 1999-12773	19991013
JP 2001131072	A2	20010515	JP 2000-303977	20001003
CA 2355357	AA	20010419	CA 2000-2355357	20001013
WO 2001026618	A2	20010419	WO 2000-FR2879	20001013
WO 2001026618	A3	20020510		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2803514	A1	20010713	FR 2000-13184	20001013
EP 1221933	A2	20020717	EP 2000-968050	20001013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511402	T2	20030325	JP 2001-529409	20001013
PRIORITY APPLN. INFO.: FR 1999-12773 A 19991013				
WO 2000-FR2879 W 20001013				
IT	50-21-5, Lactic acid, biological studies 50-81-7, Ascorbic acid, biological studies 53-43-0, DHEA 57-88-5, Cholesterol, biological studies 63-05-8, 4-Androstene-3-17 dione 68-26-8, Retinol 68-26-8D, Retinol, esters 69-72-7, Salicylic acid, biological studies 77-92-9, Citric acid, biological studies 79-14-1, Glycolic acid, biological studies 87-69-4, Tartaric acid, biological studies 90-64-2, Mandelic acid 120-46-7D, Dibenzoylmethane, derivs. 123-31-9, Hydroquinone, biological studies 131-57-7, 2-Hydroxy 4 methoxybenzophenone 145-13-1, Pregnenolone 387-79-1, 17-Hydroxypregnenolone 476-66-4, Ellagic acid 497-76-7D, Arbutine, derivs. 501-30-4, Kojic acid 521-17-5, 5 Androstenediol 651-48-9, Dhea sulfate 4065-45-6, 2 Hydroxy 4 methoxybenzophenone 5 sulfonic acid 6197-30-4, Octocrylene 6915-15-7, Malic acid 7159-95-7			
	10380-41-3D, 2-Cyano-3,3-diphenylacrylic acid, alkyl derivs.			
15087-24-8,	Benzylidene camphor 16397-78-7, 2-Ethyl hexyl cinnamate 19771-63-2, Procysteine 19771-63-2D, Procysteine, esters 25654-87-9 27503-81-7, 2-Phenylbenzimidazole 5 sulfonic acid 27598-85-2D, Aminophenol, derivs. 28901-70-4, 17.alpha.-Hydroxypregnenolone sulfate 36861-47-9 63250-25-9, 4-(Isopropyl)dibenzoylmethane 70356-09-1 88122-99-0 129499-78-1 155633-54-8 220717-78-2 334658-18-3			
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)				
(use of DHEA or its precursors and metabolites as skin depigmentation agents)				

DOCUMENT NUMBER: 134:136463  
 TITLE: A method and hydrophilic polymer gelling agent for preparation of oil-containing microcapsules  
 INVENTOR(S): Miyazawa, Kazuyuki; Kaneda, Isamu; Yanaki, Toshio  
 PATENT ASSIGNEE(S): Shiseido Company Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 55 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1072259	A2	20010131	EP 2000-115072	20000727
EP 1072259	A3	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001097818	A2	20010410	JP 2000-89742	20000328
JP 2001097819	A2	20010410	JP 2000-89743	20000328
JP 2001096146	A2	20010410	JP 2000-89744	20000328
JP 2001278740	A2	20011010	JP 2000-89745	20000328
US 6391288	B1	20020521	US 2000-625504	20000726
PRIORITY APPLN. INFO.:			JP 1999-212373	A 19990727
			JP 2000-89742	A 20000328
			JP 2000-89743	A 20000328
			JP 2000-89744	A 20000328
			JP 2000-89745	A 20000328
IT 56-81-5, Glycerin, biological studies 57-11-4, Stearic acid, biological studies 79-81-2, Vitamin A palmitate 107-88-0, 1,3-Butylene glycol 110-27-0, Isopropyl myristate 111-01-3, Squalane 122-62-3, Dioctyl sebacate 127-82-2, Zinc p-phenolsulfonate 541-02-6, Decamethylcyclopentasiloxane 556-67-2, Octamethylcyclotetrasiloxane 1314-13-2, Zinc oxide, biological studies 1327-41-9, Aluminum chlorohydrate 1338-43-8, Sorbitan monooleate 3380-34-5, Triclosan 7631-86-9, Silica, biological studies 9000-07-1, Carrageenan 9002-18-0, Agar 9016-00-6, Dimethylpolysiloxane 14807-96-6D, Talcum, siliconized 25322-68-3D, Polyethylene oxide, copolymer with Me polysiloxane 31450-14-3, Ethyl .gamma.-linolenate 56451-84-4, Sorbitan stearate 64427-25-4, Benton 70356-09-1 71010-52-1, Gellan gum 72585-97-8, Cetyl isooctanoate 129499-78-1, L-Ascorbic acid 2-glucoside				
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)				
(method and hydrophilic polymer gelling agent for prepn. of oil-contg. microcapsules)				
IT 68-26-8, Retinol				
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(method and hydrophilic polymer gelling agent for prepn. of oil-contg. microcapsules)				

L12 ANSWER 23 OF 24 USPATFULL on STN  
 ACCESSION NUMBER: 2001:182124 USPATFULL  
 TITLE: Compositon to enhance permeation of topical skin agents  
 INVENTOR(S): kung, John, Somerset, NJ, United States  
 Liu, Jue-Chen, Belle Mead, NJ, United States  
 Niemiec, Susan, Yardley, PA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001031281	A1	20011018
APPLICATION INFO.:	US 2001-819545	A1	20010328 (9)
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	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104060P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AUDLEY A. CIAMPORCERO JR., JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	721	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 30 carbon atoms is used in the products and compositions of this invention. Most preferably, the polymeric emulsifier should be **Pemulen\***, an **acrylate/C10-30 alkyl acrylate** crosspolymer commercially available from B. F. Goodrich Specialty Chemicals of Cleveland, Ohio. Surprisingly, delivery systems containing lipophilic topical active ingredients formulated in the compositions of this invention in conjunction with **Pemulen\*** provided enhanced penetration of the lipophilic topical active ingredient. Preferably, the polymeric emulsifier should be present in the compositions of. . .

SUMM . . . be hydrophilic topically active agents themselves. Sugars that may be useful in the compositions of this invention include, for example, **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and the like. Preferably, the sugar should be present in the compositions of this. . .

SUMM . . . concentrations of topical actives could be delivered, depending upon the type of benefit desired. For example, a retinoid such as **retinol** may be utilized in a composition to combat wrinkles and prevent photodamage while **ascorbic acid-2-glucoside** may be utilized for the purpose of promoting even skin tone or preventing sun-induced erythema. Therefore, under some circumstances, the **retinol** benefit may be up-regulated in order to provide treatment of wrinkles while the penetration into the skin of another undesirable. . .

SUMM . . . this invention assist in enhancing skin penetration of hydrophobic, also known as lipophilic, compounds. More particularly, hydrophobic vitamins such as **retinol** and tocopherol and the like may be incorporated into the compositions of this invention as active agents. To maximize the. . .

DETD	1.00%
Dimethicone	1.00%
Cetyl alcohol	2.50%
Cetearyl glucoside	1.40%
Tocopheryl acetate and Tocopherol	0.55%
Sunscreen	4.00%
Preservative	1.25%
Stabilizers	1.10%
<b>Retinol</b>	0.04%



DETD	Octyl hydroxy stearate	1.00%
	Dimethicone	1.00%
	Di-alpha tocopheryl acetate	0.50%
	Octyl methoxy-cinnamate	4.00%
	Propyl paraben	0.17%
	Na hydroxide (10%)	2.60%
	Retinol 50c	0.20%
	Tocopherol	0.05%
	Thea Sinesis Extract	1.00%
DETD	4.00%	
	Octyl hydroxy stearate	1.00%
	Dimethicone	1.00%
	Di-alphatocopheryl acetate	0.50%
	Octyl methoxycinnamate	4.00%
	Propyl paraben	0.17%
	Na hydroxide (10%)	2.45%
	Retinol 50c	0.20%
	Polyacrylamide & laureth	0.70%
	7 & C13-C14 isoparaffin	0.05%
	Tocopherol	
	Thea Sinesis Extract	1.00%
DETD	Cross-Polymer	1.00%
	Dimethicone	
	Cetyl Alcohol	2.00%
	Di-alpha tocopheryl acetate	0.50%
	Octyl methoxycinnamate	4.00%
	Propyl paraben	0.17%
	Na hydroxide (18%)	1.50%
	Retinol 50c	0.18%
	Ascorbic Acid-2G	6.35%
	Tocopherol	0.05%
	Thea Sinesis Extract	1.00%
DETD	4.00%	
	Octyl hydroxy stearate	1.00%
	Dimethicone	1.00%
	Di-alpha tocopheryl acetate	0.50%
	Octyl methoxycinnamate	4.00%
	Preservative	0.17%
	Na hydroxide (10%)	5.05%
	Retinol 50c	0.20%
	Tocopherol	0.05%
	Thea Sinesis Extract	1.00%
DETD	Nut Oil	7.000
	Pentaerythritol Tetraoctanoate	5.000
	Butylene Glycol	4.000
	Petrolatum	3.000
	Quaternium 18 Hectorite	2.700
	Polyglyceryl-2-Diisostearate	2.000
	PEG 150	1.000
	Retinol	0.166
	Trisodium EDTA	0.100
	Ascorbic Acid	0.100
	Sodium Citrate	0.100
	Tocopheryl Acetate	0.100
	Preservative	0.100
	Preservative	0.100

Butylated Hydroxytoluene (BHT). . . .

DETD . . . . purged from the water, glycerin, panthenol, disodium EDTA, a first preservative and ascorbic acid were added to the beaker. The **acrylates/C10-30 alkyl acrylate** and carbomer were then added to the water phase. The beaker was then transferred to

a vacuum close kettle homogenizer. . . . with NaOH (10%) and the temperature held at 70-75.degree. C. for phasing. The remainder of the ingredients but for the **Retinol**, Tocopherol and Thea Sinesis Extract were combined in a separate beaker and heated to 70-75.degree. C. When both phases were. . . . the oil phase was added to the water phase under vacuum and homogenized together. The beaker was then cooled slowly. **Retinol** was added when the temperature reached 55.degree. C. and Tocopherol and Thea Sinesis extract added at 45.degree. C. Formulation C. . . .

DETD . . . . water phase was added to oil phase slowly and the heated was stopped. At 50.degree. C., Vitamin E acetate and **retinol** were added. The whole process should be under argon and yellow light conditions.

DETD . . . . active ingredient was calculated based upon a percentage of applied dose. For these studies, the penetration of a lipophilic agent ( **retinol** and a hydrophilic agent (ascorbic acid 2-glucoside, or "AA2G") were investigated.

DETD . . . . are set forth in Table 1 below:

TABLE 1

of applied dose		% of applied dose		% of applied dose	
Enhancement delivered into Composition epidermis	of AA-2G factor of AA-2G Ingredients delivery	Enhancement delivered into epidermis	of <b>retinol</b> factor of <b>retinol</b> delivery	Enhancement delivered into epidermis	of <b>retinol</b> factor of <b>retinol</b> delivery
A Conventional N/A emulsifier (Control)	Cetearyl N/A Glucoside	0.175%	1.00		
B Hydrophobically	Acrylates/C10-C30. . . .				
DETD . . . . be seen that a control formulation (Formulation A) containing only cetearyl glucoside delivered only 0.175% of the applied dose of <b>retinol</b> into the epidermis. Surprisingly, however, when a formulation containing hydrophobically modified acrylic acid emulsifier was used (Formulation B), the percentage of <b>retinol</b> delivered increased to 0.642%, a 3.669 fold increase in delivery. When AA-2G and cetearyl glucoside were placed into formulation with <b>retinol</b> (Formulation C), the <b>retinol</b> permeation surprisingly increased to 0.241%, a 1.38-fold increase over the control formulation A. Even more surprisingly, a formulation containing both hydrophobically modified acrylic acid and AA-2G (Formulation D), although an additive effect was expected, a total delivery of <b>retinol</b> of 1.26% or a 7.2 fold increase in <b>retinol</b> delivery to the epidermis.					

DETD . . . a polyoxyethylene alcohol increased the penetration from 0.18% to 1.016%, or a 5.65-fold increase of delivery of AA-2G. Surprisingly, the **retinol** permeation decreased from 1.25% to 0.464%, a 0.36-fold decrease. Thus, the compositions of this invention afford a method of regulating. . .

DETD . . . A standard test for skin irritation, called the "Modified Irritation Study" (MIS) was used to evaluate the delivery system using **retinol** as the topical agent. This test measures the irritation potential of compositions in human volunteers. Test formulations of this invention. . .

DETD . . . Score. The results of these tests are set forth in Table 2 below.

TABLE 2

Ratio of total		Total amount of <b>retinol</b> delivered		
Normalized Irritation Composition Score	Normalized Irritation Ingredients	<b>Retinol</b> Concentration	(.mu.g)	Score
A Convention 2.1 emulsifier (Control)	Cetearyl Glucoside	0.04%	0.21	9.88
B Hydrophobically	Acrylates/C10-C30.			
DETD [0053] An increase in <b>retinol</b> penetration would generally be expected to result in higher skin irritancy, or a lower ratio of Total <b>Retinol</b> Delivered:Normalized Irritation Score. Ratios of the amount of <b>retinol</b> delivered to the irritation score were calculated to compare the formulations, i.e., they represent the amount of <b>retinol</b> delivered per each unit of irritation. As can be seen from the data set forth in Table 2, Formulations A, . . .				
DETD [0054] However, surprisingly, Formulation D evidences a dramatic increase in <b>retinol</b> delivery per unit of irritation and, therefore, is considerably less irritating than Formulations A, B and				
C. We would also. . . Formulation D would be greater than that of Formulations A, B or C in light of the increased amount of <b>retinol</b> delivered at a lower extent of irritation. We conclude that the irritation mitigation effect is unexpectedly greater in compositions containing. . .				
DETD . . . About 0.1 to about 2				
Carbomer 1%	Thickener About 0.1 to about 1%	About 0.1 to about 1%	About 0.1 to about	
Pemulen 0.25%	Hydrophobically About 0.25%	About 0.1 to about 1%	About	
	modified polymer emulsifier			
Ascorbic acid about.	Sugar	0%	About 0.1% to	

CLM

What is claimed is:

9. A composition according to claim 8 wherein said hydrophobically modified **acrylate** is **acrylates/C10-30 alkyl acrylate cross-polymer**.

14. A composition according to claim 13 wherein said sugar is selected from the group consisting of: **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and combinations thereof.

15. A composition according to claim 14 wherein said sugar is **ascorbic acid-2-glucoside**.

17. A composition according to claim 16 wherein said active agent is **ascorbic acid-2-glucoside**.

20. A composition according to claim 19 wherein said hydrophobically modified **acrylate** is **acrylates/C10-30 alkyl acrylate cross-polymer**.

skin in a composition containing a retinoid comprising applying to said skin a sugar selected from the group consisting of: **ascorbic acid-2-glucoside**, oligosaccharides such as lactose and melibiose and combinations thereof.

25. A method according to claim 24 wherein said sugar is **ascorbic acid-2-glucoside**.

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50-81-7, Vitamin C, biological studies 58-85-5, Biotin 59-43-8, Thiamine, biological studies 59-67-6, Nicotinic acid, biological studies 62-49-7, Choline 65-23-6, Pyridoxine 68-19-9, Vitamin B12 68-26-8, Retinol 79-10-7D, Acrylic acid, derivs., copolymers with alkyl acrylate 79-83-4, Pantothenic acid 83-88-5, Riboflavin, biological studies 87-89-8, Inositol 541-15-1, Carnitine; 1406-16-2, Vitamin D 1406-18-4, Vitamin E 8059-24-3, Vitamin B6 11103-57-4, Vitamin A 12001-76-2, Vitamin B complex 12001-79-5, Vitamin K  
(skin preps. contg. polymeric emulsifiers to enhance permeation of actives)

L12 ANSWER 24 OF 24 USPATFULL on STN

ACCESSION NUMBER: 93:44005 USPATFULL

TITLE: Additive for aquaculture feed for fish and shellfish and aquaculture feed for fish and shellfish which contains same

INVENTOR(S): Mitsunashi, Masakazu, Okayama, Japan

PATENT ASSIGNEE(S): Kabushiki Kaisha Hayashibara Seibutsu Kagaku Kenkyujo, Okayama, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5215767		19930601
APPLICATION INFO.:	US 1992-844138		19920302 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-128883	19910316
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Penland, R. B.	

LEGAL REPRESENTATIVE: Leydig, Voit & Mayer  
NUMBER OF CLAIMS: 10  
EXEMPLARY CLAIM: 8  
LINE COUNT: 708

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An additive for aquaculture feed for fish and shellfish, which contains L-ascorbic acid-2-glucoside as an active ingredient, an aquaculture feed for fish and shellfish containing said additive, and an aquaculture feed for fish. . . and shellfish, which has been added

with said additive and granulated. The additive for feed of the present invention, namely, L-ascorbic acid-2-glucoside 1) does not have reducing ability by itself, and extremely stable, 2) can be decomposed into L-ascorbic acid and D-glucose. . .

SUMM . . . is stable and has L-ascorbic acid activities, and found that the use of 2-O-.alpha.-D-glucopyranosyl-L-ascorbic acid (to be referred to as L-ascorbic acid-2-glucoside in the present specification) as disclosed in EP 0398484 A2 permits stable retention

of the activity of ascorbic acid added in the aquaculture feed for fish and

and shellfish, and that the feed added with L-ascorbic acid-2-glucoside permits full display of bioactivity of L-ascorbic acid, which resulted in the completion of the present invention.

SUMM The present invention relates to an additive for the feed for the aquaculture of fish and shellfish, which contains L-ascorbic acid-2-glucoside as an active ingredient, to an aquaculture feed for fish and shellfish containing same, and to a method for the.

SUMM The L-ascorbic acid-2-glucoside of the present invention is a derivative of L-ascorbic acid, which has the structure of

the following formula wherein .alpha.-D-glucose. . .

SUMM The L-ascorbic acid-2-glucoside thus produced is different from L-ascorbic acid and is extremely stable, and hardly causes Maillard reaction. The L-ascorbic acid-2-glucoside is easily decomposed into L-ascorbic acid and D-glucose by .alpha.-glucosidase in the body, and exhibits

physiological

activities inherent to L-ascorbic. . .

SUMM The additive of the present invention can be contained in the aquaculture feed for fish and shellfish by directly adding L-ascorbic acid-2-glucoside to feed. If necessary, for example, L-ascorbic acid-2-glucoside may be mixed with one or more of the feed materials such as saccharides, proteins, vitamins, minerals, etc., after which the rest of the feed materials

are

added thereto to give a feed, or L-ascorbic acid-2-glucoside may be added with one or more of those feed materials and granulated, whereafter the rest of the feed materials. . .

SUMM Since L-ascorbic acid-2-glucoside is susceptible to disadvantageous decomposition by the action of .alpha.-glucosidase contained in feed materials such as ground grain and fish paste, and easily converted into unstable L-ascorbic acid, it is desirable to

avoid

unfavorable decomposition of L-ascorbic acid-2-glucoside during production and subsequent storage of feed, by employing a method comprising heating these feed materials in advance

to

deactivate .alpha.-glucosidase, or a method comprising separately granulating the feed materials to be added with L-ascorbic acid-2-glucoside and the feed materials containing .alpha.-glucosidase, and then mixing them.

SUMM While the amount of the L-ascorbic acid-2-glucoside of the present invention to be added varies depending on the kind of feed materials to be contained together and.

DETD . . . Table 1 was used as an L-ascorbic acid deficient feed, and 5 g of L-ascorbic acid, 9.6 g of the L-ascorbic acid-2-glucoside of the present invention (5 g as L-ascorbic acid), and 6.2 g of calcium L-ascorbate (5 g as L-ascorbic acid).

DETD . . . feed

after immersion in seawater (%)

immersion time

Experimental plot

0 hr 1 hr 3 hr 6 hr

L-ascorbic acid 32 13 9 7

L-ascorbic acid-2-glucoside

89 61 49 35

calcium L-ascorbate

52 16 8 7

DETD . . . as shown in Table 4 (2 g, group A 1 g plus group B 1 g) were mixed respectively with L-ascorbic acid-2-glucoside of the present invention, L-ascorbic acid, and calcium L-ascorbate (50 mg each), and stored at 40.degree. C. and under 75%.

DETD TABLE 4

group A added with vitamins, without L-ascorbic acid

(In 100 g of vitamin

mixture)

vitamin A acetate

46,600 IU cyanocobalamin

calciferol 23,300 IU D-biotin 0.2 mg

.alpha.-tocopherol 1 mg

1,200 mg folic acid 2 mg

menadione 6 mg calcium.

DETD TABLE 5

Residual rate (%)

Experimental plot 1 week 2 weeks

L-ascorbic acid-2-glucoside

98.9 96.3

L-ascorbic acid 17.0 0.9

calcium L-ascorbate

17.0 0.8

DETD . . . an L-ascorbic acid deficient feed, and 124 mg of calcium L-ascorbate (100 mg as L-ascorbic acid) and 192 mg of L-ascorbic acid-2-glucoside (100 mg as L-ascorbic acid) were added respectively to 1 kg of the basic feed, which was then used as.

DETD . . . nitrate

2.40 folic acid 2.40

riboflavin 4.40 choline chloride

75.00

pyridoxine hydrochloride

2.40 cyanocobalamin

0.032

nicotinic acid amide  
                   7.20     .alpha.-tocopherol  
   60.00

calcium pantothenate  
                   14.00     **vitamin A**   1,500 (IU)  
 inositol           60.00     calciferol   .sup. .sup. 300 (IU)  
 biotin             0.4       menadione    3.00  
 KH.sub.2 PO.sub.4  
                   205       calcium lactate  
   141

Ca (H.sub.2 PO.sub.4) .H.sub.2 O

                  305       FeSO.sub.4 .7H.sub.2 .

DETD   The plot added with the **L-ascorbic acid-2-glucoside**  
 of the present invention showed almost the same survival rate and  
 weight

gain as of the plot added with calcium L-ascorbate, and it was  
 confirmed  
 that the **L-ascorbic acid-2-glucoside** exerted  
 sufficient physiological effects of L-ascorbic acid on the yellowtail  
 larvae.

DETD   . . . proportion of the water 8 w/w %. Calcium L-ascorbate 300 mg  
 (242 mg as L-ascorbic acid), and 300 mg of **L-ascorbic acid-2-**  
**glucoside** (156 mg as L-ascorbic acid) were respectively added  
 homogeneously. After granulation and drying at a temperature between  
 60.degree. C. and. . .

DETD   . . . fed a mixed feed added with calcium L-ascorbate, and to the  
 other plot was fed a mixed feed added with **L-ascorbic acid-2-**  
**glucoside**, and they were reared for two more weeks. The  
 L-ascorbic acid content in the extracted sites from coho salmon,  
 namely, . . .

DETD   As is evident from the results in Table 9, the plots of coho salmon fed  
 with calcium L-ascorbate and **L-ascorbic acid-2-**  
**glucoside** respectively, showed similar L-ascorbic acid content  
 in the liver and in blood.

DETD   While the amount of L-ascorbic acid added in the feed fed to the coho  
 salmon in the **L-ascorbic acid-2-glucoside** plot was  
 only about 1/2 the amount fed to the calcium L-ascorbate plot, the  
 results obtained were similar for both. This means that **L-**  
**ascorbic acid-2-glucoside** has excellent stability in  
 comparison with calcium L-ascorbate not only during production of feed  
 but also thereafter until coho salmon takes the feed, and that after

the  
 intake, **L-ascorbic acid-2-glucoside** is easily  
 converted to L-ascorbic acid in the body and sufficiently exerts  
 physiological effects inherent to L-ascorbic acid.

DETD   . . . to aquacultured red sea breams of an average weight of 430 g  
 for two weeks, after which calcium L-ascorbate and **L-ascorbic**  
**acid-2-glucoside** were forcibly fed respectively in an amount  
 corresponding to 20 mg/kg of L-ascorbic acid to examine availability of  
 the L-ascorbic. . .

DETD   . . . nitrate  
                   2.40     folic acid   2.40  
 riboflavin       4.40     choline chloride  
   75.00

pyridoxine hydrochloride  
                   2.40     cyanocobalamin  
   0.032

nicotinic acid amide  
                   7.20     .alpha.-tocopherol

60.00

calcium pantothenate 14.00    **vitamin A** 1,500 (IU)

inositol 60.00    calciferol 300 (U)

biotin 0.14    menadione 3.00

KH.sub.2 PO.sub.4 205    calcium lactate 141

Ca(H.sub.2 PO.sub.4).H.sub.2 O 305    FeSO.sub.4.7H.sub.2 O 20

ZnSO.sub.4.H.sub.2.

DETD . . . two samples from red sea breams (six from each group) were higher for the plots added with calcium L-ascorbate and L-**ascorbic acid-2-glucoside** respectively, than those of the red sea breams added with no ascorbic acid, and there was no difference between the former two plots. The L-**ascorbic acid-2-glucoside** is similarly absorbed as is calcium L-ascorbate and used in the form of L-ascorbic acid.

DETD . . . Table 12 was used as an L-ascorbic acid deficient feed, and 3 g of L-ascorbic acid, 5.8 g of the L-**ascorbic acid-2-glucoside** of the present invention (3 g as L-ascorbic acid), and 3.7 g of calcium L-ascorbate (3 g as L-ascorbic acid).

DETD                      TABLE 13

Weight gain (%)						
Rearing days						
Experimental plot	0	10	20	30	40	50
without L-ascorbic acid						
0	26	62	120	176	222	
L- <b>ascorbic acid-2-glucoside</b>						
0	28	88	152	252	340	
L-ascorbic acid						
0	36	74	130	214	282	
calcium L-ascorbate						
0	24	72	127	204	284	

DETD                      TABLE 14

Survival rate (%)						
Rearing days						
Experimental plot	0	10	20	30	40	50
without L-ascorbic acid						
100	85	69	69	69	69	
L- <b>ascorbic acid-2-glucoside</b>						
100	100	100	100	100	100	
L-ascorbic acid						
100	92	85	85	85	85	
calcium L-ascorbate						
100	100	92	92	92	92	

DETD    From the experiment results given above, it was confirmed that L-**ascorbic acid-2-glucoside** was superior to other



L-ascorbic acid derivatives in both the weight gain and survival rate.

DETD		Company)	
			12.00
soybean powder			54.50
corn flour			30.80
calcium triphosphate			1.00
soybean oil			1.50
vitamin mix*.sup.11			1.00
mineral mix*.sup.12			0.046
thiamine nitrate			
	1.40	choline chloride	
			39.00
riboflavin	1.30	retinol acetate	
			0.44
pyridoxine hydrochloride			
	1.30	cholecalciferol	
			0.0055
calcium pantothenate			
	3.80	.alpha.-tocopherol acetate	
			6.60
nicotinic acid			
	8.80	menadione	0.44
folic acid	0.22	cellulose powder	
			36.70
cyanocobalamin			

- DETD . . . . L-ascorbic acid)
3. added with ethylcellulose coated ascorbic  
(EC-150)  
acid\* 155.9 mg/kg diet (150 mg/kg diet as  
L-ascorbic acid)
  4. added with L-ascorbic acid 2-glucoside  
(AAG60)  
115.2 mg/kg diet (60 mg/kg diet as  
L-ascorbic acid)
  5. added with L-ascorbic acid-2-glucoside  
(AAG150)  
288.0 mg/kg diet (150 mg/kg diet as  
L-ascorbic acid)
  6. added with L-ascorbic acid-2-glucoside  
(AAG5000)  
9,600.0 mg/kg diet (5,000 mg/kg diet as  
L-ascorbic acid)

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\*L-ascorbic acid content:98%

DETD The results given above show that the plots added with L-  
**ascorbic acid-2-glucoside** exhibited almost the same  
weight gain, feed conversion ratio, and L-ascorbic acid content in the  
liver and the kidney as. . .

CLM What is claimed is:

1. An aquaculture feed for fish and shellfish, which consists  
essentially of L-ascorbic acid-2-glucoside and a  
feed material in which .alpha.-glucosidase has been deactivated, by  
heating said feed material the amount of the L-ascorbic  
acid-2-glucoside being from about 2 mg to about 50 g per kg of  
said aquaculture feed.

5. An aquaculture feed for fish and shellfish which consists  
essentially  
of (a) a feed that is deficient in the. . . fish and shellfish, the

the .alpha.-glucosidase in said feed having been deactivated, by heating  
 feed and (b) an amount of L-ascorbic acid-2-glucoside  
 effective to prevent failure of connective tissue said amount being  
 from about 2 mg to about 50 g per Kg. . . .  
 . . . prevent failure of connective tissue in said fish and shellfish,  
 said feed having been granulated, and (b) an amount of L-ascorbic  
 acid-2-glucoside effective to prevent failure of connective  
 tissue, said amount being from about 2 mg to about 50 g per kg. . . .  
 . . . tissue in fish and shellfish which comprises adding to the  
 aquaculture feed of said fish and shellfish an amount of L-  
 ascorbic acid-2-glucoside sufficient to prevent said  
 failure, said amount being from about 2 mg to about 50 g per kg of  
 said. . . .  
 . . . in the aquaculture feed of fish and shellfish which comprises adding  
 to a vitamin C deficient feed an amount of L-ascorbic acid-2-  
 glucoside sufficient to correct said deficiency, said amount  
 being from about 2 mg to about 50 g per kg of said. . . .  
 . . . tissue in fish and shellfish which comprises adding to the  
 aquaculture feed of said fish and shellfish an amount of L-  
 ascorbic acid-2-glucoside sufficient to prevent said  
 failure, said amount being from about 2 mg to about 50 g per kg of  
 said. . . .  
 . . . in the aquaculture feed of fish and shellfish which comprises adding  
 to a vitamin C deficient feed an amount of L-ascorbic acid-2-  
 glucoside, sufficient to correct said deficiency, said amount  
 being from about 2 mg to about 50 g per kg of said. . . . aquaculture  
 feed, and the .alpha.-glucosidase in said aquaculture feed having been  
 deactivated by heat prior to the addition of said L-ascorbic  
 acid-2-glucoside.

IT 129499-78-1

(feeding expt. with, on fish, growth in relation to)

=> d his

(FILE 'HOME' ENTERED AT 14:15:06 ON 04 AUG 2003)

FILE 'REGISTRY' ENTERED AT 14:15:22 ON 04 AUG 2003

L1	1 S RETINOL/CN
L2	0 S ASCORBIC ACID-2-GLUCOSIDE/CN
L3	1 S ASCORBIC ACID GLUCOSIDE
L4	0 S PEMULEN/CN
L5	7 S PEMULEN

FILE 'CAPLUS, KOSMET, USPATFULL' ENTERED AT 14:17:13 ON 04 AUG 2003

L6	45011 S L1 OR RETINOL# OR (RETINYL ALCOHOL) OR (VITAMIN A) OR (VIT
A)	
L7	207 S L3
L8	277 S L3 OR (ASCORB##### (3W) GLUCOSIDE)
L9	4468 S L7 OR PEMULEN OR (ACRYLATE# (5W) ALKYL ACRYLATE#)
L10	0 S L6 (10W) L8 (10W) L9
L11	24 S L6 AND L8 AND L9
L12	24 DUPLICATE REMOVE L11 (0 DUPLICATES REMOVED)